Welcome to STN International! Enter x:x

LOGINID: SSPTAKAB1626

## PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
* * * * * * * * * *
                     Welcome to STN International
                                                    * * * * * * * * *
                 Web Page for STN Seminar Schedule - N. America
NEWS
NEWS
         DEC 01
                 ChemPort single article sales feature unavailable
NEWS
                 The retention policy for unread STNmail messages
         JAN 06
                 will change in 2009 for STN-Columbus and STN-Tokyo
                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
NEWS
         JAN 07
                 Classification Data
NEWS
         FEB 02
                 Simultaneous left and right truncation (SLART) added
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 6 FEB 02
                 GENBANK enhanced with SET PLURALS and SET SPELLING
      7
         FEB 06
                 Patent sequence location (PSL) data added to USGENE
NEWS
NEWS 8 FEB 10 COMPENDEX reloaded and enhanced
NEWS 9 FEB 11
                 WTEXTILES reloaded and enhanced
NEWS 10 FEB 19
                 New patent-examiner citations in 300,000 CA/CAplus
                 patent records provide insights into related prior
                 art.
NEWS 11
         FEB 19
                 Increase the precision of your patent queries -- use
                 terms from the IPC Thesaurus, Version 2009.01
         FEB 23
                 Several formats for image display and print options
NEWS 12
                 discontinued in USPATFULL and USPAT2
                 MEDLINE now offers more precise author group fields
NEWS 13
         FEB 23
                 and 2009 MeSH terms
NEWS 14
         FEB 23
                 TOXCENTER updates mirror those of MEDLINE - more
                 precise author group fields and 2009 MeSH terms
NEWS 15
         FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
NEWS 16
         FEB 25
                 USGENE enhanced with patent family and legal status
                 display data from INPADOCDB
NEWS 17
         MAR 06
                 INPADOCDB and INPAFAMDB enhanced with new display
                 formats
NEWS 18
         MAR 11
                 EPFULL backfile enhanced with additional full-text
                 applications and grants
NEWS 19
         MAR 11
                 ESBIOBASE reloaded and enhanced
NEWS 20
         MAR 20 CAS databases on STN enhanced with new super role
                 for nanomaterial substances
NEWS 21
         MAR 23
                 CA/CAplus enhanced with more than 250,000 patent
                 equivalents from China
NEWS 22
         MAR 30
                 IMSPATENTS reloaded and enhanced
NEWS 23
         APR 03
                 CAS coverage of exemplified prophetic substances
                 enhanced
NEWS 24
         APR 07
                 STN is raising the limits on saved answers
```

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN customer agreement. This agreement limits use to scientific research. Use for software development or design, implementation of commercial gateways, or use of CAS and STN data in the building of commercial products is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 09:09:27 ON 14 APR 2009

=> file reg

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.22
0.22

FILE 'REGISTRY' ENTERED AT 09:09:35 ON 14 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 APR 2009 HIGHEST RN 1134263-89-0 DICTIONARY FILE UPDATES: 13 APR 2009 HIGHEST RN 1134263-89-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10572778 broader.str

```
chain nodes :
10 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
8-13 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 7-8 8-13 9-10
exact bonds :
6-9 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :
G1:0,S
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:CLASS
Generic attributes :
10:
                  : Unsaturated
Saturation
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
```

## L1 STRUCTURE UPLOADED

=> d L1 L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.48 0.70

FILE 'CAPLUS' ENTERED AT 09:09:51 ON 14 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 Apr 2009 VOL 150 ISS 16 FILE LAST UPDATED: 13 Apr 2009 (20090413/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

## http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 11 SSS full REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 09:09:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 85815 TO ITERATE

100.0% PROCESSED 85815 ITERATIONS

SEARCH TIME: 00.00.04

L2 332 SEA SSS FUL L1

L3 37 L2

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 37 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:140234 CAPLUS Full-text

DOCUMENT NUMBER: 150:199381

TITLE: A new combination of (a) an

 $\alpha$ -4- $\beta$ -2-neuronal nicotinic agonist and (b) a glycogen synthase kinase 3 (GSK3) inhibitor

332 ANSWERS

INVENTOR(S): Basun, Hans; Cox, Graham; Nordgren, Ingrid; Bencherif,

Merouane

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Targacept, Inc.

SOURCE: PCT Int. Appl., 48pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
WO	2009	 0174	55		A1	_	2009	0205	1	WO 2	008-	 SE50	 898		2	0080	
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	KG, KM, KN ME, MG, MK				MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
		RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,		
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
		AM,	AΖ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
PRIORIT	Y APP	LN.	INFO	.:					1	US 2	007-	9526	90P		P 2	0070	730

AB The present invention related to a combination of (a) a  $\alpha 4\beta 2$ -neuronal nicotinic agonist and (b) a GSK3 inhibitor. The invention further relates to pharmaceutical compns. comprising said combination and to methods of treating CNS disorders in mammals by administrating said combination. The invention further relates to a kit comprising the combination and use of said kits in treatment of CNS disorders such as dementia and/or Alzheimer's Disease.

IT 612487-70-4 612487-72-6,

2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5-carbonitrile 612487-75-9,

2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile 612487-82-8,

2- Hydroxy -3 - [5-(pyrrolidin-1-ylmethyl)pyridin-2-yl] -1 H-indole-5-1 H-indole-

carbonitrile 612487-90-8,
2-Hydroxy-3-[5-[(4-phenylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile 612487-99-7 612488-07-0,
2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-6carbonitrile 612488-33-2 612488-52-5,
3-[5-(Morpholin-4-ylmethyl)pyridin-2-yl]-5-nitro-1H-indol-2-ol
698345-96-9 733737-00-3
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical
process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);

USES (Uses) (combination of an  $\alpha$ -4- $\beta$ -2-neuronal nicotinic agonist and a glycogen synthase kinase 3 (GSK3) inhibitor for dementia therapy)

RN 612487-70-4 CAPLUS
CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\mathbb{N}^{\mathbb{C}} \xrightarrow{\mathbb{N}} \mathbb{N}^{\mathbb{N}} \xrightarrow{\mathbb{N}} \mathbb{N}^{\mathbb{N}} \xrightarrow{\mathbb{N}} \mathbb{N}^{\mathbb{N}}$$

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

$$NC$$
 $H$ 
 $NC$ 
 $CH_2$ 
 $N$ 

RN 612487-75-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

$$\operatorname{NC} \overset{\operatorname{H}}{\longrightarrow} \operatorname{CH}_2 \overset{\operatorname{Me}}{\longrightarrow} \operatorname{Me}$$

RN 612487-82-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612487-90-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-99-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-07-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-33-2 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

$$\circ_2 \mathbb{N} \xrightarrow{\overset{H}{\mathbb{N}}} \circ_{\mathbb{N}} \circ_{\mathbb{N}}$$

RN 612488-52-5 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

RN 698345-96-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\operatorname{NC} = \bigcup_{N \in \mathbb{N}} \operatorname{OH} = \bigcup_{N \in \mathbb{N}} \operatorname{MC}$$

RN 733737-00-3 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:139734 CAPLUS Full-text

DOCUMENT NUMBER: 150:199277

TITLE: New crystalline forms of

2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-indole-5-carbonitrile citrate for use to treat GSK3

related conditions and disorders

INVENTOR(S): Erikson, Anders; Profir, Veronica; Sebhatu, Tesfai;

Tjerneld, Erica

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 31pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		DI	ATE	
						_									_		
WO	2009	0174	52		A1		2009	0205	,	WO 2	-800	SE50	895		21	0080	729
	W:	ΑE,	AG,	AL,	ΑM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		KG, KM, KN ME, MG, MK		MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							

PRIORITY APPLN. INFO.:

US 2007-952634P P 20070730

The present invention relates to new crystalline forms of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1 H-indole-5-carbonitrile citrate, a Form D, and a Form E, resp., a process for their prepns., pharmaceutical formulations containing said compds. and to the use of said active compds. in therapy, and particularly to GSK3 related conditions and disorders. Thus, to 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5- carbonitrile citrate (4 g, 7.6 mmol) was added water (40 mL) and the slurry heated to 85° until all was dissolved; then the solution was cooled to 45° over 30 min, followed by further cooling down to 5° over 20 h; the crystals were filtered and washed with ethanol; drying in a vacuum at 50° gave 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5- carbonitrile citrate (3.22 g, 81% yield) with a purity of 98.9%.

IT 945633-71-6, 2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5-carbonitrile citrate 1110652-72-6

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (crystalline forms of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-indole-5-carbonitrile citrate for use to treat GSK3 related conditions and disorders)

RN 945633-71-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

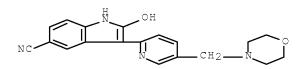
CRN 77-92-9 CMF C6 H8 O7

RN 1110652-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate, hydrate (1:1:1) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2



CM 2

CRN 77-92-9 CMF C6 H8 O7

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:139703 CAPLUS Full-text

DOCUMENT NUMBER: 150:222265

TITLE: New therapeutic combination of an antipsychotic and a

glycogen synthase kinase 3 (GSK3) inhibitor 958

INVENTOR(S): Basun, Hans; Cox, Graham; Nordgren, Ingrid

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 54pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

AΒ

ΙT

RN

```
PATENT NO.
                        KIND DATE
                                           APPLICATION NO.
                              20090205
                                         WO 2008-SE50896
     WO 2009017453
                         A1
                                                                   20080729
        W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
            FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
             IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                           US 2007-952641P
                                                              P 20070730
     The present invention relates to a combination of (a) an antipsychotic and (b)
     a GSK3 inhibitor. The invention further relates to pharmaceutical compns.
     comprising said combination and to methods of treating psychiatric disorders;
     particularly, cognitive impairment disorders in psychotic disorders in mammals
     by administrating said combination. The invention further relates to a kit
     comprising the combination and use of said kit in treatment of psychiatric
     disorders; particularly, cognitive impairment disorders in psychotic
     disorders.
     612487-70-4 612487-72-6,
     2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5-
     carbonitrile 612487-75-9,
     2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
     carbonitrile 612487-82-8,
     2-Hydroxy-3-[5-(pyrrolidin-1-ylmethyl)pyridin-2-yl]-1H-indole-5-
     carbonitrile 612487-90-8,
     2-Hydroxy-3-[5-[(4-phenylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
     carbonitrile 612487-99-7 612488-07-0,
     2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-6-
     carbonitrile 612488-33-2 612488-52-5,
     3-[5-(Morpholin-4-ylmethyl)pyridin-2-yl]-5-nitro-1H-indol-2-ol
     698345-96-9, 2-Hydroxy-3-[5-(4-methylpiperazin-1-
     yl)sulfonylpyridin-2-yl]-1H-indole-5-carbonitrile 733737-00-3
     RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical
     process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
     USES (Uses)
        (therapeutic combination of an antipsychotic and a glycogen synthase
        kinase 3 (GSK3) inhibitor 958)
     612487-70-4 CAPLUS
     1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-
```

$$\operatorname{NC} \overset{\operatorname{H}}{\longrightarrow} \operatorname{OH} \overset{\circ}{\longrightarrow} \operatorname{N} \overset{\operatorname{Me}}{\longrightarrow} \operatorname{Me}$$

piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612487-75-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

$${\rm NC} \stackrel{\rm H}{\longrightarrow} {\rm CH}_2 \stackrel{\rm N}{\longrightarrow} {\rm NC} \stackrel{\rm Me}{\longrightarrow} {\rm CH}_2 \stackrel{\rm N}{\longrightarrow} {\rm NC} \stackrel{\rm Me}{\longrightarrow} {\rm NC} \stackrel{\rm Me}{\longrightarrow} {\rm NC} \stackrel{\rm N}{\longrightarrow} {\rm NC} \stackrel{\rm N}{$$

RN 612487-82-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612487-90-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-99-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-07-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-33-2 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

$$\circ_2 \mathbb{N} \xrightarrow{\mathbb{N}} \mathbb{N} \xrightarrow{\mathbb{N}} \mathbb{N} \xrightarrow{\mathbb{N}} \mathbb{N} = \mathbb{N}$$

RN 612488-52-5 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

RN 698345-96-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\operatorname{NC} = \operatorname{M} \operatorname{OH} \operatorname{OH} \operatorname{OH} \operatorname{Me}$$

733737-00-3 CAPLUS

RM

CN

1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:138859 CAPLUS Full-text

DOCUMENT NUMBER: 150:222260

TITLE: New therapeutic combination of a glycogen synthase

kinase-3 (GSK3) inhibitor and an  $\alpha$ 7-nicotinic

agonist

INVENTOR(S): Basun, Hans; Cox, Graham; Nordgren, Ingrid

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 59pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	.OV		D.	ATE	
WO	2009	0174	 54		A1	_	2009	0205	,	WO 2	008-	 SE50	 897		2	0080	729
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		FI, GB, GI KG, KM, KN		KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		KG, KM, KN ME, MG, MF		MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM							

PRIORITY APPLN. INFO.: US 2007-952651P P 20070730

AB The present invention related to a combination of (a) a GSK3 inhibitor and (b) an  $\alpha 7-$  nicotinic agonist. The invention further relates to pharmaceutical compns. comprising said combination and to methods of treating CNS disorders in mammals by administrating said combination. The invention further relates to a kit comprising the combination and use of said kits in treatment of CNS disorders such as dementia and/or Alzheimer's Disease.

IT 612487-70-4 612487-72-6 612487-82-8 612487-90-8 612487-99-7 612488-07-0

612488-33-2 612488-52-5 698345-96-9 733737-00-3

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(combination of a glycogen synthase kinase-3 (GSK3) inhibitor and an  $\alpha 7\text{-nicotinic}$  agonist for dementia therapy)

RN 612487-70-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\mathbb{N}^{\mathbb{C}} \xrightarrow{\mathbb{N}} \mathbb{N}^{\mathbb{N}} \xrightarrow{\mathbb{N}} \mathbb{N}^{\mathbb{N}} = \mathbb{N}^{\mathbb{N}}$$

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612487-82-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612487-90-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-99-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-07-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-33-2 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

$$\circ_2 \mathbf{N} \qquad \stackrel{\mathrm{H}}{\longrightarrow} \qquad \stackrel{\circ}{\longrightarrow} \qquad \stackrel{\mathrm{M}}{\longrightarrow} \qquad \stackrel{\mathrm{M}}{$$

RN 612488-52-5 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

RN 698345-96-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 733737-00-3 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1300811 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 149:513869

TITLE: Process for preparation of

2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-

indole-5-carbonitrile

INVENTOR(S): Delisser, Vern; Hedberg, Martin; Jansson, Annette;

Raadevik, Andreas; Ryberg, Per; Thiering, Swantje

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 54pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT 1	NO.			KINI	O	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
WO	2008	 1303:	12		A1	_	2008	1030		——— WO 2	 008-:	 SE50	 432		2	0080	 417
	W:	ΑE,	AG,	AL,	AM,	ΑO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
	KG, KM, KN ME, MG, MF			KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	KG, KM, KI ME, MG, MI				MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		ΤG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM							
IORITY	APP:	LN.	INFO	.:						US 2	007-	9125.	27P	]	P 2	0070	418

OTHER SOURCE(S): CASREACT 149:513869; MARPAT 149:513869

The present invention pertains to a process for the preparation of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5- carbonitrile as a free base and pharmaceutically acceptable salts thereof, particularly the citrate salt. For example, Et 2-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]acetate was reacted with 3-fluoro-4-nitrobenzonitrile in THF at -20 °C in presence of lithium tert-butoxide to afford an intermediate, which was treated with Degussa heterogeneous catalyst (platinum and vanadium on active carbon) under hydrogen for selective reduction of nitro group to amino group. The reduction product obtained above was treated with citric acid monohydrate at 60-75 °C for 2 h in Bu acetate, DMF, and iso-propanol, cooled to 5 °C over 10 h, and held overnight at 5 °C to gave 75 % yield of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5- carbonitrile citrate as an orange solid. Advantageously, the new process is suitable for large scale industrial manufacturing

IT 1073614-10-4P 1073614-11-5P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-

5-carbonitrile)

RN 1073614-10-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 1,2-dihydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 1073614-11-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-ethoxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

IT 612487-71-5P 612487-72-6P 945467-87-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

 $(preparation\ of\ 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1 H-indole-$ 

5-carbonitrile)

RN 612487-71-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612487-72-6 CAPLUS CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 945467-87-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

L3 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1210838 CAPLUS Full-text

DOCUMENT NUMBER: 149:448395

TITLE: 3-Imidazolylindoles for treatment of proliferative

diseases and their preparation

INVENTOR(S): Boettcher, Andreas; Buschmann, Nicole; Furet, Pascal;

Groell, Jean-Marc; Kallen, Joerg; Hergovich Lisztwan,

Joanna; Masuya, Keiichi; Mayr, Lorenz; Vaupel, Andrea

PATENT ASSIGNEE(S): Novartis A.-G., Switz. SOURCE: PCT Int. Appl., 260pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

	ENT :				KIN	D	DATE			APPL						ATE	
	2008				A2	_	2008	1009		 WO 2						080	
WO	2008	1197	41		А3		2008	1204									
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
	FI, GB, GI KG, KM, KI				GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
	·				KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	KG, KM, KN ME, MG, MK				MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA			
PRIORITY	APP	LN.	INFO	.:						EP 2	007-	1052	69		A 2	0070	329
OTHER SO	URCE	(S):			MAR:	PAT	149:	4483	95								

AB The invention relates to 3-heterocyclyl indolyl compds. of formula I, which are capable of inhibiting the interaction between p53, or variants thereof, and MDM2 and/or MDM4, or variants thereof, resp. Due to their activity, the compds. are useful in the treatment of various disorders and diseases mediated

by the activity of MDM2 and/or MDM4, or variants thereof. Compds. of formula I wherein R1 and R2 are independently (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted aryl and (un)substituted heterocyclyl; R3 is H, halo, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted alkyl, carboxy, cyano, etc.; RA is H, (un)substituted alkyl and acyl; X is H, C1-7 (halo)alkyl, C1-7 alkoxy, halo and CN; Y is C1-7 (halo)alkyl, C1-7 alkoxy, halo and CN; and their tautomers, N-oxides and salts thereof, are claimed. Example compound II was prepared by formylation of 6-chloro-1H-indole the resulting 6-chloro-1H-indole-3-carboxaldehyde underwent cyclization with 4-chlorobenzylamine and 1-(isocyanophenylmethanesulfonyl)-4-methylbenzene to give compound II. All the invention compds. were evaluated for their MDM2 and MDM4 inhibitory activity (some data given).

IT 1067655-33-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazolylindoles as MDM2 and MDM4 inhibitors useful in the treatment of proliferative diseases)  $\,$ 

RN 1067655-33-7 CAPLUS

CN 1H-Indole-2-sulfonamide, 6-chloro-3-[1-[(4-chlorophenyl)methyl]-4-phenyl-1H-imidazol-5-yl]-N,N-dimethyl- (CA INDEX NAME)

L3 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:588455 CAPLUS Full-text

DOCUMENT NUMBER: 149:11953

TITLE: Development of a Mild and Robust Method for

Large-Scale Palladium-Catalysed Cyanation of Aryl

Bromides: Importance of the Order of Addition

AUTHOR(S): Ryberg, Per

CORPORATE SOURCE: Process Chemistry, AstraZeneca PR & D Sodertalje,

Soedertaelje, S-151 85, Swed.

SOURCE: Organic Process Research & Development (2008), 12(3),

540-543

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:11953

AB A mild and robust method for the large-scale palladium-catalyzed cyanation of aryl bromides has been developed. The reaction is sensitive to cyanide poisoning of the catalyst, and it was found that the order of adding the reagents had a strong impact on the performance of the reaction. Addition of the cyanide source to a preheated mixture of the other reagents was critical for achieving a robust and scaleable process. This improved protocol allowed

the reaction to be run to full conversion within 3 h at 50  $^{\circ}\text{C}$  on a 6.7 kg scale. Furthermore, it led to the identification of several new efficient catalysts for the reaction.

IT 612487-72-6P

RL: IMF (Industrial manufacture); PREP (Preparation)

(effect of order of addition on large-scale palladium-catalyzed cyanation of aryl bromides)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

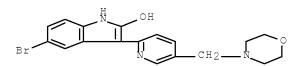
IT 612488-09-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(effect of order of addition on large-scale palladium-catalyzed cyanation of aryl bromides)

RN 612488-09-2 CAPLUS

CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1204238 CAPLUS Full-text

DOCUMENT NUMBER: 147:469377

TITLE: Preparation of substituted oxindole derivatives for

treating GSK3-related disorders

INVENTOR(S): Arzel, Erwan; Delisser, Vern; Iverson, Suzanne;

Ryberg, Per; Raadevik, Andreas

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 45pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	NT :	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
						_									_		
WO 2	WO 2007120102						2007	1025		WO 2	007-	SE36	6		2	0070	418
	W: AE, AG, A		AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2006-793336P P 20060419 PRIORITY APPLN. INFO.: OTHER SOURCE(S): CASREACT 147:469377; MARPAT 147:469377 GΙ

The present invention relates to new compds. of formula I (wherein R1 is H or OH, Q is N or N+O- with the proviso that when R1 is H then Q is N+O- and when R1 is OH then Q is N) as a free base or a pharmaceutically acceptable salt thereof, in an essentially pure and isolated form, pharmaceutical formulations containing said compds., to the use of said active compds. in therapy, and particularly to GSK3 related disorders, and processes for their prepns. as well as new intermediates. Example compound I (R1=OH, Q=N) was prepared by cyclization of Et 2-(5-cyano-2-nitrophenyl)-2-hydroxy-2-[5-(morpholin-4-ylmethyl)pyridin-2-yl]acetate (preparation given). In a GSK3 $\beta$  scintillation proximity assay the Ki values for the compds. of formula I are in the range of 0.001 nM to 10  $\mu$ M.

IT 952723-36-3P, 2-Hydroxy-3-[5-[(4-oxidomorpholin-4 yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT
 (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES
 (Uses)

(drug candidate; preparation of substituted oxindole derivs. for treating GSK3-related disorders)

RN 952723-36-3 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-oxido-4-morpholinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

IT 612487-72-6, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile

RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(preparation of substituted oxindole derivs. for treating GSK3-related disorders)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:999184 CAPLUS Full-text

DOCUMENT NUMBER: 147:330449

TITLE: New salts of an indole derivative and their

pharmaceutical uses

INVENTOR(S): Berg, Anna-Lena; Bhat, Ratan; Sebhatu, Tesfai;

Staahle, Erica

PATENT ASSIGNEE(S): Astrazeneca A/B, Swed. SOURCE: PCT Int. Appl., 37pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

P	PAT	ENT I	.O.			KIN	D	DATE			APPL:						ATE	
N.	1O	2007	1002	82		A1											0070	
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚM,	KN,
			KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
	RS, RU, TZ, UA,				SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT,
	TZ, UA,				UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW: AT, BE, E			BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										
E	ΞP	1991.	539			A1		2008	1119		EP 2	007-	7093	05		2	0070	131
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
I						Α		2008	1024		IN 2	008-1	DN64.	33		2	0800	723
C	CN 101389623					А		2009	0318		CN 2	007-	8000	6973		2	0800	827
PRIORI	TY	APP:	LN.	INFO	.:						US 2	006-	7773	48P	]	2	0060	228
	RIORITY APPLN. INFO.:										WO 2	007-	SE89		Ţ	w 2	0070	131

The present invention relates to new salts of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5- carbonitrile (I), processes for their preparation, pharmaceutical formulations containing the salts and to the use of the active salts in therapy, and particularly to GSK3 related disorders. I was suspended in EtOH and fumaric acid, and then the solution was heated to 40° to give the fumarate salt.

IT 945467-88-9P 945467-89-0P 945467-90-3P 945467-91-4P 945467-92-5P 945467-93-6P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(salts of indole derivative and their pharmaceutical uses)

RN 945467-88-9 CAPLUS

IH-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 945467-89-0 CAPLUS

CN Ethanesulfonic acid, compd. with 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-1H-indole-5-carbonitrile (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CRN 594-45-6 CMF C2 H6 O3 S

RN 945467-90-3 CAPLUS

CN 1,2-Ethanedisulfonic acid, compd. with 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-1H-indole-5-carbonitrile (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

HO3S-CH2-CH2-SO3H

RN 945467-91-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, phosphate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CRN 7664-38-2 CMF H3 O4 P

RN 945467-92-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

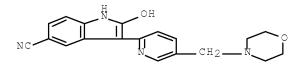
CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 945467-93-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, (2Z)-2-butenedioate (1:?) (CA INDEX NAME)

CRN 612487-72-6 CMF C19 H18 N4 O2



CM 2

CRN 110-16-7 CMF C4 H4 O4

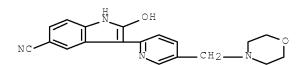
Double bond geometry as shown.

IT 612487-72-6

RL: RCT (Reactant); RACT (Reactant or reagent) (salts of indole derivative and their pharmaceutical uses)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:873822 CAPLUS Full-text

DOCUMENT NUMBER: 147:243348

TITLE: Pharmaceutical use of

2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-

indole-5-carbonitrile as a free base or salts

INVENTOR(S): Berg, Anna-Lena; Bhat, Ratan

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

		TENT				KIN	D	DATE			APPL			NO.		D	ATE	
		2007				A1	_	2007	0809	;						2	0070	131
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚM,	KN,
			KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										
	ΕP	1981	500			A1		2008	1022		EP 2	007-	7093	03		2	0070	131
		R:	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
	CN	1013	7875	4		Α		2009	0304		CN 2	007-	8000	4363		2	0800	801
PRIO	RIT	Y APP	LN.	INFO	.:						US 2	006-	7645	51P	]	2	0060	202
											US 2	006-	7773	48P	]	2	0060	228
										,	WO 2	007-	SE87		Ī	w 2	0070	131
7.0							·							,	2 .	_ ,	1	7 .

AB The present invention relates to a new use of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-indole-5-carbonitrile as a free base or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for the prevention and/or treatment of bone-related disorders, osteoporosis and increasing bone formation and bone mineral d. The present invention further relates to a method of prevention and/or treatment of these disorders or conditions.

IT 612487-72-6, 2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-indole-5-carbonitrile

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(therapeutic use of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-indole-5-carbonitrile as a free base or salts)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

$$\mathbb{N}^{\mathbb{C}} \xrightarrow{\mathbb{N}} \mathbb{N}^{\mathbb{C}} = \mathbb{N}^{\mathbb{C}}$$

IT 612487-72-6DP, salts 945467-87-8P 945467-88-9P 945467-89-0P 945467-90-3P 945467-91-4P

945467-92-5P 945467-93-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(therapeutic use of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-indole-5-carbonitrile as a free base or salts)

RN 612487-72-6 CAPLUS
CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-r

1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 945467-87-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

RN 945467-88-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CRN 75-75-2 CMF C H4 O3 S

RN 945467-89-0 CAPLUS

CN Ethanesulfonic acid, compd. with 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-1H-indole-5-carbonitrile (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 594-45-6 CMF C2 H6 O3 S

RN 945467-90-3 CAPLUS

CN 1,2-Ethanedisulfonic acid, compd. with 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-1H-indole-5-carbonitrile

(1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

HO3S-CH2-CH2-SO3H

RN 945467-91-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, phosphate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 945467-92-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 945467-93-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, (2Z)-2-butenedioate (1:?) (CA INDEX NAME)

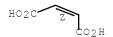
CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:873770 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 147:243347

TITLE: Citrate salt of an indole derivative and its

pharmaceutical use

INVENTOR(S): Berg, Anna-Lena; Bhat, Ratan; Sebhatu, Tesfai;

Staahle, Erica

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 32pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PAT	FENT	NO.			KIN	D	DATE			APP]	LICAT	ION I	NO.		D	ATE	
WO	2007	0891	91		A1	_	2007	0809	,	WO 2	2007-	SE86			2	0070	131
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	ВВ	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL	, IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT	, LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NΙ,	NO	, NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM	, SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM	, ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT	, RO,	SE,	SI,	SK,	TR,	BF,	BJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML	, MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
	CF, CG, GM, KE, KG, KZ,				MW,	MZ,	NA,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
	GM, KE,				RU,	ТJ,	TM										
AU	2007	2103	36		A1		2007	0809		AU 2	2007-	2103.	36		2	0070	131
CA	2641	900			A1		2007	0809	1	CA 2	2007-	2641	900		2	0070	131
EP	1981	869			A1		2008	1022		EP 2	2007-	7093	02		2	0070	131
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		•			•	LU,	LV,	MC,	NL,	PL,	, PT,	RO,	SE,	SI,	SK,	TR,	AL,
		,	,	MK,													
	2007				A1			0830			2007-				_	0070	
	2008				А		2008				2008-		42			0800	
	2008		A		2008				2008-				_	0800			
	1013		А		2009				2007-					0800			
	2008				А		2008				2008-					0800	
	2008				A		2008				2008-					0800	
	2009		_		A1		2009	0122			2008-					0081	
ORIT:	Y APP	LN.	INFO	.:							2006-					0060	
									,	WO 2	2007-	SE86		Ī	W 2	0070	131

AB The present invention relates to a new pharmaceutically acceptable salt, the 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1 H-indole-5-carbonitrile citrate, a process for its preparation, pharmaceutical formulations containing

said salt and to the use of said active salt in therapy, and particularly to GSK3 related conditions and disorders.

IT 945467-87-8P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(citrate salt of an indole derivative and its pharmaceutical use)

RN 945467-87-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

IT 612487-72-6, 2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5-carbonitrile

RL: RCT (Reactant); RACT (Reactant or reagent)

(citrate salt of an indole derivative and its pharmaceutical use)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

L3 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:873564 CAPLUS Full-text

DOCUMENT NUMBER: 147:257783

TITLE: Process for preparing

2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-

1H-indole-5-carbonitrile and its salts using new intermediates and palladium cyanation catalysts

INVENTOR(S): Erbeck, Silke; Hedberg, Martin; Nussbaumer, Thomas;

Ryberg, Per; Zistler, Andrea

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 47pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
WO	 2007	 0891	 93		 A1	_	 2007	0809	,	 WO 2	007-	 SE88			2	 0070:	 131
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		KP, KR, KZ MN, MW, MX			MY,	MZ,	NΑ,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$ ,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM										
RITY	APP	LN.	INFO	. :						US 2	006-	7645	42P		P 2	0060	202

PRIORITY APPLN. INFO.: US 2006-764542P OTHER SOURCE(S): CASREACT 147:257783; MARPAT 147:257783

The invention relates to a new process for the manufacture of the compound 2hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5- carbonitrile (I) and its pharmaceutically acceptable salts thereof, particularly the 2hydroxy-3-[5- (morpholin-4-ylmethyl)pyridin-2-yl]lH- indole-5-carbonitrile citrate, which are useful for the treatment of cognitive disorders, Alzheimer disease, dementia, chronic and acute neurodegenerative diseases, bipolar disorders, schizophrenia, diabetes, hair loss etc., via new intermediates and use of palladium catalysts in the cyanation step. Specifically, the method involves condensation of 5-halooxindole with (6-halo-pyridin-3-yl)(morpholin-4-yl)methanone (halo independently = Cl, Br or I) to generate new intermediates [6-(5-halo-2-hydroxy-1H-indol-3-yl)pyridin-3-yl](morpholin-4yl)methanone (II) for preparing I. Selective reduction of II followed by decomplexation gives 5-halo-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1Hindol-2-ol (III). Catalytic cyanation of III using palladium catalysts in a robust condition provides I. Thus, e.g., I was prepared in 90% yield on a large scale (5.2 kg) by cyanation of 5-bromo-3-[5-[(morpholin-4yl)methyl]pyridin-2-yl]-1H- indol-2-ol (preparation given) with zinc cyanide in the presence of  $di-\mu$ -bromobis(tri-tert-butylphosphine)dipalladium as a catalyst and zinc-dust as an additive. The process is robust for large scale cyanation under mild conditions.

IT 612487-72-6P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]1H-indole-5-carbonitrile
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT
(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); RACT (Reactant or reagent); USES

(Uses)

(drug candidate; method for preparing 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5-carbonitrile and its salts using new intermediates and palladium cyanation catalysts)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 945633-70-5 CAPLUS

CN Methanone, [6-(5-bromo-2-hydroxy-1H-indol-3-yl)-3-pyridinyl]-4-morpholinyl-(CA INDEX NAME)

palladium cyanation catalysts)

RN 945633-71-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:1350295 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 144:88168

TITLE: Preparation of indol-2-ol compounds containing

heterocycle moiety as kinase inhibitors

INVENTOR(S): Bressi, Jerome C.; Gangloff, Anthony R.; Hosfield,

David J.; Jennings, Andrew John; Paraselli, Bheema R.;

Stafford, Jeffrey Alan

PATENT ASSIGNEE(S): Takeda San Diego, Inc., USA SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123672	A2	20051229	WO 2005-US20890	20050613
WO 2005123672	A3	20060302		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

```
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     EP 1773807
                                20070418
                                            EP 2005-763319
                                                                    20050613
                          Α2
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                            JP 2007-516629
                                                                    20050613
     JP 2008502687
                          Τ
                                20080131
                                                                    20070920
     US 20080153869
                          Α1
                                20080626
                                            US 2007-570315
PRIORITY APPLN. INFO.:
                                            US 2004-579787P
                                                                    20040614
                                                                 W
                                            WO 2005-US20890
                                                                    20050613
                        CASREACT 144:88168; MARPAT 144:88168
OTHER SOURCE(S):
GΙ
```

$$\begin{array}{c}
R^{14} & R^{16} \\
Y = Z & N \\
R^{17} & R^{17}
\end{array}$$

$$\begin{array}{c}
R^{14} & R^{16} \\
Y = Z & N \\
R^{17} & N \\
R^{18} & N \\
R$$

ΙT

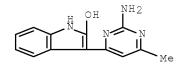
Title compds. I, II [J, K, L, Y = C, N; M = CH, N; X, Z = C, N, O, etc.; R3, R4, R5 = H, halo, amino, etc.; R3 and R4, or R4 and R5 are taken together to form (un)substituted ring, with the proviso that R3, R4 and/or are absent when J,K and/or L resp. are nitrogen; R7 = H, substituent convertible in vivo to H; R13, R14 = H, alkyl, alkoxy, etc.; R16, R17 = H, alkyl, heterocycloalkyl, etc.; further details on X, Y, Z are given.] and their pharmaceutically acceptable salts were prepared For instance, general procedure is provided for the preparation of 3-(2-amino-6-methylpyrimidin-4-yl)-1H-indol-2-ol (III). In AIK (aurora-A kinase) inhibition assays, exemplified compound III exhibited the IC50 value of <100,000 nM. Compds. I and II are claimed useful for the treatment of inflammation, cancer, etc.

872174-41-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indol-2-ol compds. containing heterocycle moiety as kinase inhibitors for treatment of inflammation, cancer, etc.)

RN 872174-41-9 CAPLUS

CN 1H-Indol-2-ol, 3-(2-amino-6-methyl-4-pyrimidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:588997 CAPLUS Full-text

DOCUMENT NUMBER: 143:115438

TITLE: Preparation of substituted indol-2-ols as kinase

inhibitors

INVENTOR(S): Gangloff, Anthony R.; Nowakowski, Jacek; Paraselli,

Bheema R.; Stafford, Jeffrey A.; Tennant, Michael G.

PATENT ASSIGNEE(S): Syrrx, Inc., USA

SOURCE: PCT Int. Appl., 179 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE				APPL	ICAT		DATE				
WO	2005061519			A1 20050707			1	WO 2	004-	JS42	20041217						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,	ΤG											
US	US 20050153966						2005	0714	1	US 2	004-	1534	20041217				
EP	EP 1694686			A1		2006	0830		EP 2	004-	8147	20041217					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	IS		
JP	JP 2007514759			T		2007	0607	JP 2006-545517					20041217				
PRIORIT	IORITY APPLN. INFO.:							US 2003-531202P					P 20031219				
						WO 2004-US42631							Ţ	W 20041217			
OTHER SO	, ,					CASREACT 143:115438; MARPAT 143:115438											

AΒ The invention relates to compds. I [R3-R6 = H, halo, perhaloalkyl, etc.; or two of R3-R6 are taken together to form a ring, with the proviso that R3-R6 are absent where the ring atom to which R3-R6 are bound is nitrogen; R7 = H or a substituent convertible in vivo to hydrogen; R11-R14 = H, alkyl, alkoxy, etc.; or any two of R11-R14 are taken together to form a ring, with the proviso that R11-R14 are absent when the ring atom to which R11-R14 are bound is nitrogen; A, B, U and V = C, N; J, K, L and M = C, N; W = CR21, N; X =CR15, N; R15 = H, NO2, CN, etc.; R21 = H, NO2, CN, etc.; with the proviso that at least one of R3-R6 is selected from NH2, furanyl, quinolinyl, indolyl, pyridinyl, carboxamidinyl, aminosulfonyl, and arylalkyl (each unsubstituted or substituted), or a substituted sulfonamidyl when A, B, U, V and W are all C; or X = CR15 and R15 is an N-linked moiety when A, B, U, V and W are all C; or X = CR15 and R15 is an S-linked moiety when A, B, U, V and W are all C] that may be used to inhibit kinases, as well as compns. of matter and kits comprising these compds. General procedures for synthesis of compds. I are provided. Over 150 compds. I such as II were prepared and characterized. The exemplified compds. I have been found to have IC50 values in the range of about 0.001 to about 100,000 nM. Other values for IC50 are in the range of about 0.001 to about 10,000 nM for AIK and/or c-KIT. The present invention also relates to methods for inhibiting kinases, as well as treatment methods using compds. I.

IT 857259-54-2P 857259-55-3P

RN

RN

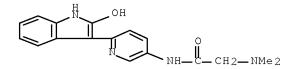
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indol-2-ols as Aurora-2 and c-KIT inhibitors) 857259-54-2 CAPLUS

CN Butanamide, 4-(dimethylamino)-N-[6-(2-hydroxy-1H-indol-3-yl)-3-pyridinyl]- (CA INDEX NAME)

857259-55-3 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[6-(2-hydroxy-1H-indol-3-yl)-3-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:283287 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 142:336240

TITLE: Preparation of heterocyclic-substituted indoles as

inhibitors of GSK3eta

INVENTOR(S): Berg, Stefan; Hellberg, Sven

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIND DATE					APPL	ICAT		DATE					
_	2005027823								1	WO 2	004-		20040921					
WO	2005027823																	
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	
		SN,	TD,	TG														
AU	AU 2004273771				A1		2005	0331	AU 2004-273771						20040921			
AU	2004	2737	71		В2		2008	1106										
CA	2538	381			A1 20050331			CA 2004-2538381						20040921				
EP	1667	990			A2	A2 20060614 EP 2004-775465						65	20040921					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR		
BR	BR 2004014632				Α		2006	1107		BR 2	004-		20040921					
CN 1886397				Α		2006	1227	CN 2004-80034700						20040921				
JP 2007506734					Τ		2007	0322	JP 2006-527944									
IN	IN 2006DN01198				A 20070803				IN 2006-DN1198						20060307			
US	2008	0275	041		A1		2008	1106	1	US 2	006-	5727	78		2	0060	321	
MX 2006003195				Α	A 20060623				MX 2006-3195						20060322			
ORITY APPLN. INFO.:									SE 2	003-	2546			A 2	0030	924		
										AU 2	003-	2160.	26		A3 2	0030	328	
									1	WO 2	004-	SE13	63		W 2	0040	921	
THER SOURCE(S):					CASREACT 142:336240: MARPAT 142:336240													

OTHER SOURCE(S): CASREACT 142:336240; MARPAT 142:336240

GI

$$(R^2)$$
 m  $\stackrel{R^1}{\longrightarrow}$   $\stackrel{\circ}{\longrightarrow}$   $R^3$ 

AB Title compds. I [P - 5-6-membered heteroarom. ring; R1 = H; R2 = alkyl, CN, halo, etc.; R3 = alkyl, CN, NO2, carboxy, etc.; m, n = 0-4] and derivs. are prepared For instance, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-1H-indole-6-carbonitrile is prepared by the reaction of 2-oxoindoline-6-carbonitrile and 1-[(6-chloro-1-oxidopyridin-3-yl)carbonyl]-4-methylpiperazine (preparation given). Ki of selected compds. of the invention was 20 μM for GSK3 $\beta$ . I are useful for the treatment of, e.g., Alzheimer's Disease.

IT 698345-96-9P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1 yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carbonitrile 848474-13-5P,
 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5 carboxylic acid methyl ester
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic-substituted indoles as inhibitors of  $GSK3\beta$ )

RN 698345-96-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\operatorname{NC} = \operatorname{M} \operatorname{OH} \operatorname{OH} \operatorname{OH} \operatorname{Me}$$

RN 848474-13-5 CAPLUS

ΤТ

CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-, methyl ester (CA INDEX NAME)

$$\mathsf{MeO}_{\mathsf{C}} \overset{\mathsf{H}}{\longrightarrow} \mathsf{OH} \\ \mathsf{N} & \mathsf{CH}_2 & \mathsf{N} & \mathsf{Me} \\ \mathsf{N} & \mathsf{CH}_2 & \mathsf{N} & \mathsf{N} & \mathsf{Me} \\ \mathsf{N} & \mathsf{CH}_2 & \mathsf{N} & \mathsf{N} & \mathsf{Me} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} & \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} & \mathsf{N} \\ \mathsf{N}$$

```
yl)carbonyl]pyridin-2-yl]-1H-indole-6-carbonitrile hydrochloride
848472-55-9P, 6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(morpholin-
4-yl)ethyl]nicotinamide hydrochloride 848472-56-0P,
6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1-
yl)ethyl]nicotinamide hydrochloride 848472-57-1P,
6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1-
v1)ethyl]nicotinamide 848472-58-2P,
6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-
methylnicotinamide hydrochloride 848472-59-3P,
6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-3-
sulfonamide hydrochloride 848472-60-6P,
6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-3-
sulfonamide 848472-62-8P,
2-Hydroxy-3-[5-(piperazine-1-sulfonyl)pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 848472-64-0P,
3-[5-[[4-[2-(Dipropylamino)ethyl]piperazin-1-yl]sulfonyl]pyridin-2-yl]-2-
hydroxy-1H-indole-6-carbonitrile hydrochloride 848472-66-2P,
3-[5-[4-[2-(Dipropylamino)ethyl]piperazin-1-yl]sulfonyl]pyridin-2-yl]-2-
hydroxy-1H-indole-6-carbonitrile 848472-68-4P,
2-Hydroxy-3-[5-[4-[2-(morpholin-4-yl)ethyl]piperazin-1-
yl]sulfonyl]pyridin-2-yl]-1H-indole-6-carbonitrile hydrochloride
848472-70-8P, 2-Hydroxy-3-[5-[[4-[2-(morpholin-4-
yl)ethyl]piperazin-1-yl]sulfonyl]pyridin-2-yl]-1H-indole-6-carbonitrile
848472-72-0P, 2-Hydroxy-3-[5-[[4-[2-(pyrrolidin-1-
yl)ethyl]piperazin-1-yl]sulfonyl]pyridin-2-yl]-1H-indole-6-carbonitrile
hydrochloride 848472-74-2P,
2-Hydroxy-3-[5-[[4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-
yl]sulfonyl]pyridin-2-yl]-1H-indole-6-carbonitrile 848472-76-4P,
2-Hydroxy-3-[5-[[4-(2-methoxyethyl)piperazin-1-yl]sulfonyl]pyridin-2-yl]-
1H-indole-6-carbonitrile hydrochloride 848472-78-6P,
2-Hydroxy-3-[5-[[4-(2-methoxyethyl)piperazin-1-yl]sulfonyl]pyridin-2-yl]-
1H-indole-6-carbonitrile 848472-80-0P,
2-Hydroxy-N-(3-methoxypropyl)-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848472-82-2P, 2-Hydroxy-N-(3-methoxypropyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
848472-84-4P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(morpholin-4-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848472-86-6P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(morpholin-4-
y1)methyl]pyridin-2-y1]-1H-indole-5-carboxamide 848472-88-8P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[(pyridin-2-
yl)methyl]-1H-indole-5-carboxamide hydrochloride 848472-90-2P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[(thiophen-2-
yl)methyl]-1H-indole-5-carboxamide hydrochloride 848472-92-4P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]pyridin-2-yl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-(2-yl)methyl]-N-[2-
oxoimidazolidin-1-yl)ethyl]-1H-indole-5-carboxamide hydrochloride
848472-93-5P, 2-Hydroxy-3-[5-[(morpholin-4-y1)methyl]pyridin-2-y1]-
\label{eq:n-section} \verb|N-[2-(2-oxoimidazolidin-1-yl)| ethyl]-1 + indole-5-carboxamide
848472-95-79, N-[2-(Acetylamino)ethyl]-2-hydroxy-3-[5-[(morpholin-
4-yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848472-97-9P, 2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(morpholin-4-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848472-99-1P, 2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(morpholin-4-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide 848473-01-8P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[4-yl]
(trifluoromethyl)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-03-0P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
N-[2-(trifluoromethyl)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-05-2P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
N-[2-(trifluoromethyl)benzyl]-1H-indole-5-carboxamide 848473-07-4P
```

```
, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[2-yl]
(trifluoromethoxy)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-09-6P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
N-[2-(trifluoromethoxy)benzyl]-1H-indole-5-carboxamide
848473-11-0P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
N-[4-(trifluoromethoxy)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-13-29, 3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-
[(thiophene-2-yl)methyl]-1H-indole-5-carboxamide hydrochloride
848473-15-4P, 3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-
[(thiophene-2-yl)methyl]-1H-indole-5-carboxamide 848473-17-6P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-[(pyridin-2-
yl)methyl]-1H-indole-5-carboxamide hydrochloride 848473-19-8P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-[(pyridin-2-
yl)methyl]-1H-indole-5-carboxamide 848473-21-2P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-(2-methoxyethyl)-1H-
indole-5-carboxamide hydrochloride 848473-23-4P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-(2-methoxyethyl)-1H-
indole-5-carboxamide 848473-25-6P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[(tetrahydrofuran-2-
yl)methyl]-1H-indole-5-carboxamide hydrochloride 848473-27-8P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[(tetrahydrofuran-2-
yl)methyl]-1H-indole-5-carboxamide 848473-29-0P,
N-Benzyl-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-
carboxamide hydrochloride 848473-31-4P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-propyl-1H-indole-5-
carboxamide hydrochloride 848473-33-6P,
2-Hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-35-8P, 2-Hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
848473-39-2P, 2-Hydroxy-N-(4-methoxyphenyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 348473-41-6P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-[(pyridin-
3-y1)methyl]-1H-indole-5-carboxamide hydrochloride 848473-43-8P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-[(pyridin-
4-yl)methyl]-1H-indole-5-carboxamide hydrochloride 848473-45-0P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-[(pyridin-
2-y1)methyl]-1H-indole-5-carboxamide hydrochloride 848473-47-2P,
N-[2-(Aminosulfonyl)ethyl]-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-
2-yl]-1H-indole-5-carboxamide hydrochloride 848473-49-4P,
2-Hydroxy-N-[2-(methylsulfonyl)ethyl]-3-[5-[(morpholin-4-yl)methyl]pyridin-
2-y1]-1H-indole-5-carboxamide hydrochloride 848473-52-9F,
3-(4-Cyanopyridin-2-yl)-2-hydroxy-N-(2-methoxyethyl)-1H-indole-5-
carboxamide 848473-54-1P,
3-(5-Cyanopyridin-2-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N-[2-[(4-methylpiperazin-1-yl)-2-hydroxy-N
yl)sulfonyl]ethyl]-1H-indole-5-carboxamide hydrochloride
848473-56-3P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
1H-indole-5-carboxamide hydrochloride 848473-58-5P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-
sulfonamide hydrochloride 848473-61-0P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-
carboxamide hydrochloride 848473-63-2P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-6-
carboxamide hydrochloride 848473-64-3P,
3-[5-[4-[2-(Dimethylamino)ethyl]piperazin-1-yl]sulfonyl]pyridin-2-yl]-2-
hydroxy-1H-indole-6-carbonitrile hydrochloride 848473-65-4P,
2-Hydroxy-N-(2-methoxyethyl)-3-(5-nitropyridin-2-yl)-1H-indole-5-
carboxamide hydrochloride 848473-66-5P,
N-(2-Cyanoethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
```

```
indole-5-carboxamide hydrochloride 848473-67-6P,
N-(2-Cyanoethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide 848473-68-7P,
2-Hydroxy-N-[2-(1H-imidazol-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl)ethylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-1-4-yl]-3-[5-[(4-methylpiperazin-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-69-8P, 2-Hydroxy-N-[2-(1H-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4-imidazol-4-yl)ethyl]-3-[5-[(4
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
848473-70-1P, N-Benzyl-2-hydroxy-3-[5-[(4-methylpiperazin-1-
v1)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-71-2P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-propyl-1H-indole-5-carboxamide hydrochloride
848473-72-3P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848473-73-4P,
N-[2-(Dimethylamino)ethyl]-2-hydroxy-3-[5-[(4-methylpiperazin-1-
v1)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-74-5P, 3-(5-Cyanopyridin-2-y1)-2-hydroxy-N-(2-methoxyethy1)-
1H-indole-5-carboxamide hydrochloride 848473-75-6P,
2-Hydroxy-3-[5-[(piperidin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
carboxamide hydrochloride 848473-76-7P,
2-Hydroxy-N-methyl-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-
carboxamide hydrochloride 848473-77-8P,
6-Bromo-2-hydroxy-N-methyl-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-
2-yl]-1H-indole-5-carboxamide hydrochloride 848473-78-9P,
6-Bromo-2-hydroxy-N-isopropyl-3-[5-[(4-methylpiperazin-1-
v1)sulfonyl|pyridin-2-yl|-1H-indole-5-carboxamide hydrochloride
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848473-80-3P,
6-Bromo-2-hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-
[(tetrahydrofuran-2-yl)methyl]-1H-indole-5-carboxamide hydrochloride
848473-81-4P, 6-Bromo-2-hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-[2-(pyrrolidin-1-yl)ethyl]-1H-indole-5-
carboxamide hydrochloride 848473-82-5P,
N-[3-(Dimethylamino)propyl]-2-hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-83-6P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(morpholin-4-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-84-7P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-pyridin-3-yl-1H-indole-5-carboxamide
hydrochloride 848473-85-8P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-pyridin-3-
yl-1H-indole-5-carboxamide 848473-86-9P,
2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-87-0P, 2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
848473-88-1P, 2-Hydroxy-N-(3-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[5-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-methoxybenzyl)-3-[(4-met
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848473-89-2P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-
(tetrahydro-2H-pyran-4-yl)-1H-indole-5-carboxamide hydrochloride
848473-90-5P, 2-Hydroxy-N-(4-methoxybenzyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848473-91-6P,
2-Hydroxy-N-(4-methoxybenzyl)-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide 848473-92-7P,
N-(Cyanomethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide hydrochloride 848473-93-8P,
N-(Cyanomethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
```

```
indole-5-carboxamide 848473-94-9P,
N-(2-Furylmethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide hydrochloride 848473-95-0P,
N-(2-Furylmethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide 848473-96-1P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 848473-97-2P,
2-Hydroxy-3-[5-[(piperidin-1-yl)methyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 848473-98-3P,
2-Hydroxy-3-[5-[(3-oxopiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 848473-99-4P,
2-Hydroxy-3-[6-(2-(morpholin-4-yl)ethoxy)pyrimidin-4-yl]-1H-indole-6-
carbonitrile hydrochloride 848474-00-0P,
3-[6-[2-(Diisopropylamino)ethoxy]pyrimidin-4-yl]-2-hydroxy-1H-indole-6-
carbonitrile hydrochloride 848474-01-1P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-v1)sulfonyl]pyridin-2-v1]-1H-indole-5-
carboxylic acid hydrochloride 848474-02-2P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-[3-(2-
oxopyrrolidin-1-yl)propyl]-1H-indole-5-carboxamide hydrochloride
848474-03-3P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-[(thiophene-2-yl)methyl]-1H-indole-5-
carboxamide hydrochloride 848474-04-4P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-[2-(2-
oxoimidazolidin-1-yl)ethyl]-1H-indole-5-carboxamide hydrochloride
848474-05-5P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
v1)sulfonv1|pvridin-2-v1|-N-[2-(thiophen-2-v1)ethv1]-1H-indole-5-
carboxamide hydrochloride 848474-06-6P,
N-[2-(Acetylamino)ethyl]-2-hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848474-07-7P, N-(2-Cyanoethyl)-2-hydroxy-3-[5-[(4-methylpiperazin-
1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848474-08-8P, N-[2-(Aminosulfonyl)ethyl]-2-hydroxy-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848474-09-9P,
N-(Cyanomethyl)-2-hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-
yl]-1H-indole-5-carboxamide hydrochloride 848474-10-2P,
2-Hydroxy-3-[5-(4-methylpiperazinesulfon-1-yl)pyridin-2-yl]-1H-indole-5-
carboxylic acid N-[(carbamoyl)methyl]amide hydrochloride
848474-11-3P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-[2-(methylsulfonyl)ethyl]-1H-indole-5-
carboxamide hydrochloride 848474-14-6P 848474-15-7P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
carboxylic acid N-[(thiophen-2-yl)methyl]amide 848474-16-8P
848474-17-9P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxylic acid benzylamide
848474-18-0P 848474-19-1P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-1H-indole-5-carboxylic
acid [2-(methanesulfonyl)ethyl]amide 848567-90-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of heterocyclic-substituted indoles as inhibitors of
   GSK3β)
848472-54-8 CAPLUS
1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-
piperazinyl)carbonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)
```

RN CN

RN 848472-55-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

NC 
$$\stackrel{\text{H}}{\longrightarrow}$$
 OH  $\stackrel{\text{O}}{\longrightarrow}$  NH\_ CH<sub>2</sub>— CH<sub>2</sub>—  $\stackrel{\text{O}}{\longrightarrow}$  HC1

RN 848472-56-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848472-57-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 848472-58-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848472-59-3 CAPLUS

CN 3-Pyridinesulfonamide, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-60-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 848472-62-8 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(1-piperazinylsulfonyl)-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-64-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 3-[5-[[4-[2-(dipropylamino)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]-2-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-66-2 CAPLUS

CN 1H-Indole-6-carbonitrile, 3-[5-[[4-[2-(dipropylamino)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 848472-68-4 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(4-morpholinyl)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848472-70-8 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(4-morpholinyl)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848472-72-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-74-2 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848472-76-4 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-(2-methoxyethyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-78-6 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-(2-methoxyethyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 848472-80-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(3-methoxypropyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848472-82-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(3-methoxypropyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848472-84-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 848472-86-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 848472-88-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- N-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848472-90-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-(2-thienylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 848472-92-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848472-93-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- N-[2-(2-oxo-1-imidazolidinyl)ethyl]- (CA INDEX NAME)

RN 848472-95-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(acetylamino)ethyl]-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848472-97-9 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 848472-99-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

$$CH_2$$
  $NH$   $CH_2$   $NH$   $CH_2$   $NH$ 

RN 848473-01-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- N-[[4-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\mathsf{F}_3\mathsf{C} \qquad \mathsf{CH}_2 \mathsf{-} \mathsf{NH} \qquad \mathsf{CH}_2 \mathsf{-} \mathsf{NH} \qquad \mathsf{CH}_2 \mathsf{-} \mathsf{N} \qquad \mathsf{N} \qquad \mathsf{CH}_2 \mathsf{-} \mathsf{N} \qquad \mathsf{CH}_2 \mathsf{-} \mathsf{N} \qquad \mathsf{CH}_2 \mathsf{-} \mathsf{N} \qquad \mathsf{$$

● HCl

RN 848473-03-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[[2-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-05-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- N-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 848473-07-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[[2-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-NH & \begin{array}{c} O\\ \end{array} & \begin{array}{c} H\\ N \end{array} & OH \end{array}$$

● HCl

RN 848473-09-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- N-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

RN 848473-11-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[[4-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-13-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-thienylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848473-15-4 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-thienylmethyl)- (CA INDEX NAME)

RN 848473-17-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848473-19-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 848473-21-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-methoxyethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-23-4 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-methoxyethyl)- (CA INDEX NAME)

$$\texttt{MeO-CH}_2-\texttt{CH}_2-\texttt{NH-C}$$

RN 848473-25-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$CH_2-NH$$
 $CH_2-NH$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 

HC1

RN 848473-27-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)

$$\begin{array}{c} \overset{\circ}{\smile} & \text{CH}_2 - \text{NH} - \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\text{H}}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \text{OH} \\ & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\overset{\circ}{\overset{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\circ}{\overset{\overset{\circ}{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\overset{\circ}{\overset{\circ}{\overset{\circ}{\overset{\overset{\circ}{\smile}}}}} & \overset{\overset{\circ}{\overset{\overset{\circ}{\overset{\circ}{\smile}}}} & \overset{\overset{\circ}{\overset{\overset{\circ}{\overset{\overset{\circ}{\smile}}$$

RN 848473-29-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848473-31-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-propyl-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-33-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-35-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848473-39-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(4-methoxyphenyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-41-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(3-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-43-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(4-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 848473-45-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

● HCl

RN 848473-47-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(aminosulfonyl)ethyl]-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$H_2N$$
  $=$   $CH_2$   $=$   $CH_2$   $=$   $NH$   $=$   $CH_2$   $=$   $NH$   $=$   $CH_2$   $=$   $NH$   $=$ 

● HCl

RN 848473-49-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[2-(methylsulfonyl)ethyl]-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$Me - S - CH_2 - CH_2 - NH - C$$

$$CH_2 - CH_2 - NH - C$$

$$CH_2 - CH_2 - NH - C$$

● HCl

RN 848473-52-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(4-cyano-2-pyridinyl)-2-hydroxy-N-(2-methoxyethyl)- (CA INDEX NAME)

RN 848473-54-1 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(5-cyano-2-pyridinyl)-2-hydroxy-N-[2-[(4-methyl-1-piperazinyl)sulfonyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-56-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$H_2N-C$$
 $N$ 
 $CH_2$ 
 $N$ 

● HCl

RN 848473-58-5 CAPLUS

CN 1H-Indole-5-sulfonamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848473-61-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-63-2 CAPLUS

CN 1H-Indole-6-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$H_{2}N$$
 $H_{2}N$ 
 $H$ 

RN 848473-64-3 CAPLUS

CN 1H-Indole-6-carbonitrile, 3-[5-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]-2-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848473-65-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-(5-nitro-2-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)

MeO-CH<sub>2</sub>-CH<sub>2</sub>-NH-C NO<sub>2</sub>

$$\bullet$$
 HC1

RN 848473-66-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-cyanoethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 848473-67-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-cyanoethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 848473-68-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[2-(1H-imidazol-4-yl)ethyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-69-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[2-(1H-imidazol-5-yl)ethyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\stackrel{\mathrm{H}}{\underset{\mathrm{N}}{\longrightarrow}} \operatorname{CH}_2 - \operatorname{CH}_2 - \operatorname{NH} - \stackrel{\overset{\circ}{\underset{\mathrm{U}}{\longrightarrow}} \operatorname{H}}{\underset{\mathrm{N}}{\longrightarrow}} \operatorname{OH}$$

RN 848473-70-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848473-71-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-propyl-, hydrochloride (1:1) (CA INDEX NAME)

$$n-\Pr{NH-C} = \underbrace{\begin{array}{c} H \\ N \\ \end{array}}_{N} \underbrace{\begin{array}{c} OH \\ S \\ \end{array}}_{N} \underbrace{\begin{array}{c} Me \\ N \\ \end{array}}_{N}$$

HCl

RN 848473-72-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-73-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(dimethylamino)ethyl]-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\texttt{Me}_{2}\texttt{N-CH}_{2}-\texttt{CH}_{2}-\texttt{NH-C}$$

HC1

RN 848473-74-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(5-cyano-2-pyridinyl)-2-hydroxy-N-(2-methoxyethyl)-, hydrochloride (1:1) (CA INDEX NAME)

MeO-
$$CH_2$$
- $CH_2$ - $NH$ - $C$ 
 $N$ 
 $CN$ 

RN 848473-75-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-76-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-methyl-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-77-8 CAPLUS

CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-N-methyl-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-78-9 CAPLUS

CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-N-(1-methylethyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-79-0 CAPLUS

CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-N-(2-methoxyethyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

MeO\_CH<sub>2</sub>\_CH<sub>2</sub>\_NH\_C 
$$\stackrel{\text{H}}{\longrightarrow}$$
  $\stackrel{\text{OH}}{\longrightarrow}$   $\stackrel{\text{OH}}{\longrightarrow}$   $\stackrel{\text{N}}{\longrightarrow}$   $\stackrel{\text{N}$ 

RN 848473-80-3 CAPLUS

CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-81-4 CAPLUS

CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-82-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-[3-(dimethylamino)propyl]-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$Me_2N-(CH_2)_3-NH-C$$
 $Me_2N-(CH_2)_3-NH-C$ 
 $Me_2N-(CH_2)_3-NH-C$ 
 $Me_2N-(CH_2)_3-NH-C$ 

RN 848473-83-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-(4-morpholinylsulfonyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

MeO\_CH<sub>2</sub>\_CH<sub>2</sub>\_NH\_C

$$\stackrel{\text{H}}{\longrightarrow}$$
 $\stackrel{\text{H}}{\longrightarrow}$ 
 $\stackrel{\text{OH}}{\longrightarrow}$ 
 $\stackrel{\text{OH}}{\longrightarrow}$ 
 $\stackrel{\text{OH}}{\longrightarrow}$ 
 $\stackrel{\text{OH}}{\longrightarrow}$ 

RN 848473-84-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-3-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848473-85-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-3-pyridinyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 848473-86-9 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-87-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848473-88-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(3-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CAINDEX NAME)

● HCl

RN 848473-89-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\bigcup_{\mathrm{NH}} \bigcup_{\mathrm{NH}} \bigcup_{\mathrm$$

● HCl

RN 848473-90-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(4-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-91-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(4-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 848473-92-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyanomethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-93-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyanomethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

$$NC = CH_2 = NH = C$$
 $NC = CH_2 = NH = CH_2 = N$ 
 $NC = CH_2 = NH = CH_2 = N$ 

RN 848473-94-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$CH_2-NH$$
 $CH_2-NH$ 
 $CH_2-NH$ 
 $CH_2-NH$ 
 $CH_2-NH$ 
 $CH_2-NH$ 

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c} \overset{\circ}{\bigcirc} \\ \text{CH2-NH-} \\ \overset{\circ}{\bigcirc} \\ \end{array}$$

RN 848473-96-1 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

NC 
$$H$$
 OH  $CH_2$   $N$   $Me$   $HC1$ 

RN 848473-97-2 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$^{\rm NC}$$
  $^{\rm H}$   $^{\rm OH}$   $^{\rm CH}_2$   $^{\rm N}$   $^{\rm HC1}$ 

RN 848473-98-3 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(3-oxo-1-piperazinyl)methyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$^{\rm NC} \xrightarrow{\rm H}^{\rm H}^{\rm OH} {\rm OH}$$

● HCl

RN 848473-99-4 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[6-[2-(4-morpholinyl)ethoxy]-4-pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848474-00-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 3-[6-[2-[bis(1-methylethyl)amino]ethoxy]-4-pyrimidinyl]-2-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

RN 848474-01-1 CAPLUS

CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

HCl

RN 848474-02-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848474-03-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(2-thienylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 848474-04-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848474-05-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[2-(2-thienyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

\_

RN 848474-06-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(acetylamino)ethyl]-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CAINDEX NAME)

HCl

RN 848474-07-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-cyanoethyl)-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$NC-CH_2-CH_2-NH-C$$
 $NC-CH_2-CH_2-NH-C$ 
 $NC-CH_2-CH_2-C$ 
 $NC-CH_2-CH_2-C$ 
 $NC-CH_2-CH_2-C$ 
 $NC-CH_2-CH_2-C$ 

RN 848474-08-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(aminosulfonyl)ethyl]-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H_2N = S = CH_2 = CH_2 = NH = C \\ \hline \\ \end{array}$$

RN 848474-09-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyanomethyl)-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 848474-10-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-amino-2-oxoethyl)-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

HCl

RN 848474-11-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[2-(methylsulfonyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

$$Me = \bigcup_{N=0}^{\infty} CH_2 - CH_2 - NH - C$$

RN 848474-14-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

$$CH_2-NH$$
 $CH_2-NH$ 
 $CH_2-NH$ 

RN 848474-15-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-N-(2-thienylmethyl)- (CA INDEX NAME)

RN 848474-16-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-N-(phenylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

**●**2 HCl

RN 848474-17-9 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-N-(phenylmethyl)- (CA INDEX NAME)

RN 848474-18-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-[2-(methylsulfonyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Me—
$$S$$
— $CH_2$ — $CH_2$ — $NH$ — $C$ — $CH_2$ — $NEt_2$ 

RN 848474-19-1 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-[2-(methylsulfonyl)ethyl]- (CA INDEX NAME)

RN 848567-90-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-(3-amino-3-oxopropyl)-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

IT 848473-37-0, Methyl 2-hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxylate RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of heterocyclic-substituted indoles as inhibitors of GSK3 $\beta$ ) RN 848473-37-0 CAPLUS

CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, methyl ester (CA INDEX NAME)

$$\text{MeO-C} \stackrel{\text{H}}{\longrightarrow} \text{OH} \stackrel{\text{O}}{\longrightarrow} \text{N} \stackrel{\text{Me}}{\longrightarrow} \text{Me}$$

RN 848472-43-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-, ethyl ester (CA INDEX NAME)

RN 848472-45-7 CAPLUS

CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, methyl ester (CA INDEX NAME)

RN 848472-47-9 CAPLUS

CN 1H-Indole-5-carboxylic acid, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-, methyl ester (CA INDEX NAME)

RN 848472-48-0 CAPLUS

CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)

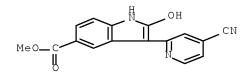
● HCl

RN 848472-50-4 CAPLUS

CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848472-53-7 CAPLUS

CN 1H-Indole-5-carboxylic acid, 3-(4-cyano-2-pyridinyl)-2-hydroxy-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:199862 CAPLUS Full-text

DOCUMENT NUMBER: 142:447077

TITLE: The reaction between 3-aminocrotonates and

oxindol-3-ylidene derivatives: synthesis of highly

substituted pyrroles

AUTHOR(S): Rehn, Stanley; Bergman, Jan

CORPORATE SOURCE: Unit for Organic Chemistry, Department of Biosciences,

Karolinska Institute and Soedertoern University

College, Huddinge, SE-141 57, Swed.

SOURCE: Tetrahedron (2005), 61(12), 3115-3123

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:447077

AB The reaction between 3-aminocrotonates and 3-acetonylideneoxindole in refluxing toluene resulted in 2-pyrrol-3'-yloxindoles in high yields (around 90%). At room temperature the 2-pyrrol-3'-yloxindoles exists as keto-enol tautomers. Treatment with POCl3 yielded the 2-chloro-3-pyrrolyl indole, which gave the pyrrolo annulated indolopyran-2-one upon basic hydrolysis of 2-chloro-3-pyrrolyl indole Me ester.

IT 851085-22-8P 851085-24-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and tautomerism of pyrrolyloxindoles)

RN 851085-22-8 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-(2-hydroxy-1H-indol-3-yl)-2,5-dimethyl-, ethyl ester (CA INDEX NAME)

RN 851085-24-0 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-(2-hydroxy-1H-indol-3-yl)-1,2,5-trimethyl-, methyl ester (CA INDEX NAME)

IT 851085-23-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and tautomerism of pyrrolyloxindoles)

RN 851085-23-9 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-(2-hydroxy-1H-indol-3-yl)-2,5-dimethyl-, methyl ester (CA INDEX NAME)

IT 851085-18-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (reactions of pyrrolyloxindoles)

RN 851085-18-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[(ethoxycarbonyl)oxy]-3-[4-(methoxycarbonyl)-1,2,5-trimethyl-1H-pyrrol-3-yl]-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:493561 CAPLUS Full-text DOCUMENT NUMBER: 141:54365

TITLE:

Preparation of 1,3,5-triazines as kinase inhibitors for treatment of angiogenesis or vasculogenesis

INVENTOR(S):

Armistead, David M.; Bemis, Jean E.; Buchanan, John L.; Dipietro, Lucian V.; Elbaum, Daniel; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Kim, Joseph L.; Marshall, Teresa L.; Novak, Perry M.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.; Zhu,

Xiaotian

PATENT ASSIGNEE(S):

Amgen Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 300 pp., Cont. of U.S. Ser. No.

85,053, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

2.

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
				_			
US 20040116388	A1	20040617	US 2003-699518		20031031		
US 7074789	B2	20060711					
ES 2306671	Т3	20081116	ES 2000-972036		20001006		
PRIORITY APPLN. INFO.:			US 1999-158176P	P	19991007		
			US 1999-166978P	Ρ	19991123		
			US 1999-170378P	Ρ	19991213		
			US 2000-183263P	Ρ	20000217		
			US 2000-215576P	Ρ	20000630		
			US 2000-219801P	Ρ	20000720		
			US 2000-685053	В1	20001006		
OTHER COHPORTON		141.54265					

OTHER SOURCE(S):

MARPAT 141:54365

GT

AΒ Title compds. I [wherein R1 and R2 = independently R3, R8, NHR3, NHR5, NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, (un)substituted heterocyclyl, alkyl; R3 = independently aryl, (un)substituted Ph, heteroaryl; R5 = independently H, alkynyl, cycloalkenyl, aryl, R9, (un)substituted (cyclo)alkyl, alkenyl; R6 = independently COR5, CO2R5, CONR5R5, C(=NR5)NR5R5, SO1-2R5; R8 = independently (un) substituted (hetero) monocyclyl, (hetero)bicyclyl, (hetero)tricyclyl] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. Examples include a number of general synthetic methods, specific exptl. details for the preparation of selected invention compds., and phys. and bioassay data. For instance, 2,4-dichloro-1,3,5-triazine was coupled with 3,4,5-trimethoxyaniline in the presence of diisopropylethylamine in DMF to give the triazinamine (37%). Subsequent reaction with 4-aminoveratrole using diisopropylethylamine in EtOH provided II (66%). The latter was one of over 950 invention compds. tested for activity against the EGFR-1, IGFR-1, Akt3-1, Met-1, KDR-1, Zap-1, Lck-1, Itk-1, PDGFRB-1, Tek-1, ErbB2-2, EPHB4-1, ErbB4-1, FGFR1-1, Flt-1, Fyn-1, Hck-1, Lyn-1, Ret-1, and/or Src-1 receptors with IC50 values in ranges from  $<\!0.4~\mu g/mL$  to  $>\!4.5~\mu g/mL$  . Thus, I and their compns. are useful for the treatment of diseases or conditions involving angiogenesis or vasculogenesis (no data).

IT 333728-93-1P 333729-76-3P 333730-27-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinase inhibitor; preparation of triazines as kinase inhibitors for treatment of angiogenesis or vasculogenesis)

RN 333728-93-1 CAPLUS

CN 1H-Indol-2-ol, 3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]-(CA INDEX NAME)

RN 333729-76-3 CAPLUS

CN 1H-Indol-2-ol, 1-methyl-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

RN 333730-27-1 CAPLUS

CN 1H-Indol-2-ol, 5-chloro-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{OHe} \\ & & \text{OMe} \\ & & \text{N} \\ & & \text{OMe} \\ \end{array}$$

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:41121 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 140:94045

TITLE: Preparation of hypoglycemic imidazoline compounds INVENTOR(S): Takeuchi, Kumiko; Jirousek, Michael Robert; Paal,

Michael; Ruhter, Gerd; Schotten, Theo

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 106 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 20040009976 A1 20040115 US 2002-135963 20020430

PRIORITY APPLN. INFO: US 2002-135963 20020430

OTHER SOURCE(S): MARPAT 140:94045

GΙ

AB The title compds. I [X = O, S, NR5 with R5 = H, alkyl, protecting group; R1, R1', R2, R3 = H, alkyl; R1 and R2 form a bond and R1' and R3 are H, alkyl; or R1 and R2 form a carbocyclic ring; R4 = (un)substituted indolyl, naphthyl, quinolinyl, etc.; n = 0-2], useful for treating diabetes, diabetic complications, metabolic disorders or related diseases where impaired glucose disposal is present, were prepared and formulated. E.g., preparation of 5-chloro-2-methyl-3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indole is described.

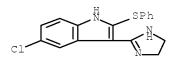
IT 227800-70-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hypoglycemic imidazolines)

RN 227800-70-6 CAPLUS

CN 1H-Indole, 5-chloro-3-(4,5-dihydro-1H-imidazol-2-yl)-2-(phenylthio)- (CA INDEX NAME)



L3 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:796689 CAPLUS Full-text

DOCUMENT NUMBER: 139:323431

TITLE: Preparation of heterocyclyl-substituted 2-oxindoles

and 2,3-dihydro-1H-indol-2-ols as glycogen synthase

kinase-3 inhibitors

INVENTOR(S): Berg, Stefan; Hellberg, Sven; Nyloef, Martin; Xue,

Yafenq

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

P <i>P</i>	PATENT NO.							APPLICATION NO.						DATE			
WC	2003082853						WO 2003-SE508					20030328					
	W:	AE,	AG,	AL,	AM,	AT,	AU,							BZ,	CA,	CH,	CN,
							DK,										
							IN,										
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
							SC,										
							VC,						•	•	·	,	•
	RW:						MZ,						ZM,	ZW,	AM,	AZ,	BY,
							TM,										
							IE,										
							CM,										
CA	2476		,	,	A1						2003-					0030	
ΑU	2003	2160	26		A1		2003				2003-					0030	
AU	2003	2160	26		В2		2008										
EF	1492	785			A1		2005	0105		EP 2	2003-	7454	98		2	0030	328
EF	1492	785			В1		2008	1203									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
BF	2003	0081	96		Α		2005	0111			2003-					0030	328
CN	1642	938			A 20050720				CN 2003-807389 JP 2003-580319					20030328			
JF	2005	5268	14		Т		2005	0908		JP 2	2003-	5803	19		2	0030	328
JF	3989	444			В2		2007	1010									
CN	1923	812			Α		2007	0307		CN 2	2006-	1015	3714		2	0030	328
NZ	5346	64			А		2007	0629		NZ 2	2003-	5346	64		2	0030	328
EF	1961	748			A2		2008	0827		EP 2	2008-	1574	61		2	0030	328
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		ΙΤ,	LI,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	LT,	LV,	MK	
RU	J 2338	742			C2		2008	1120		RU 2	2004-	1251	46		2	0030	328
	4161				Τ		2008	1215		AT 2	2003-	7454	98			0030	328
MX	2004	0091					2004	1207			2004-					0040	921
	2004				Α		2005				2004-					0040	922
US	2005	0153	987		A1		2005	0714		US 2	2004-	5092	68		2	0040	927
US	7399	780			B2		2008	0715									
	2004						2004	1019		NO 2	2004-	4432			2	0041	019
	2007				А		2007	0906		JP 2	2007-	1558	10		2	0070	613
PRIORIT	Y APP	LN.	INFO	.:							2002-				A 2	0020	328
										CN 2	2003-	8073	89			0030	
											2003-						
											2003-						
										WO 2	2003-	SE50	8	•	W 2	0030	328
OTHED C	OLIDOR	/C1 .			MADI	フカエ	120.	3 2 3 4 .	21								

OTHER SOURCE(S): MARPAT 139:323431

GI

$$(R^2)_{m}$$
 $(R^2)_{m}$ 
 $(R^2)_{m}$ 
 $(R^2)_{m}$ 
 $(R^2)_{m}$ 
 $(R^2)_{m}$ 
 $(R^3)_{n}$ 
 $(R^3)_{n}$ 
 $(R^3)_{m}$ 
 $(R^3)_{m}$ 

AΒ Title compds. I and II [wherein P = 5- or 6-membered heteroarom. ring; R1 = H; R2 and R3 = independently halo, NO2, alkenyl, alkynyl, alkylcycloalkyl, alkyl(hetero)aryl, CHO, COR4, CO2R4, CH2F, CHF2, CF3, OCH2F, OCHF2, OCF3, OCO2R4, NR4OR5, NR4CO2R5, SO3R4, XR6; R4 = H, alkyl, alkenyl, alkynyl, alkylcycloalkyl, alkyl(hetero)aryl, alkyl-NR14R15, or (un)substituted heterocyclyl; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, alkylcycloalkyl, alkyl(hetero)aryl, or alkyl-NR14R15; or NR4R5 = (un) substituted heterocyclyl; R6 = (un) substituted Ph or heterocyclyl; R7, R9, and R12 = independently H or alkyl; R8 ,R10, R11, and R13 = independently alkyl; R14 and R15 = independently H or alkyl(cycloalkyl); or NR14R15 = (un) substituted heterocyclyl; X = direct bond, O, COR7R8, SO2NR9R10, or NR12R13; OCOR4 (un) substituted alkyl or alkoxy; m = 0-4; n = 0-4; and their pharmaceutically acceptable salts thereof] were prepared as glycogen synthase kinase-3 (GSK3) inhibitors. For example, reduction of 5-cyanooxindole with NaH in DMF, followed by coupling with 2-chloro-N-[2-(dimethylamino)ethyl]isonicotinamide in DMF provided the title indolol III (5%). In ATP competition assays, compds. of the invention inhibited recombinant human GSK3eta with Ki values in the range of about 0.001 nM to about 10,000 nM (no specific values given). Thus, I, II, and their pharmaceutical formulations are useful for the treatment of a variety of neurodegenerative and dementia related diseases, including Alzheimer's disease (no data). ΙT 612487-72-6P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile 612487-75-9P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile 612487-77-1P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-Nmethylnicotinamide 612487-80-6P, 6-(5-Cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(pyrrolidin-1-y1)ethyl]pyridine-3sulfonamide 612487-82-8P, 2-Hydroxy-3-[5-[(pyrrolidin-1-y1)methyl]pyridin-2-y1]-1H-indole-5carbonitrile 612487-85-1P,  $2- {\tt Hydroxy-3-[5-[(4-methyl-1,4-diazepan-1-yl)methyl]pyridin-2-yl]-1} \\ {\tt H-indole-1}$ 5-carbonitrile 612487-87-3P, 2-Hydroxy-3-[5-[[4-(pyrrolidin-1-yl)piperidin-1-yl]methyl]pyridin-2-yl]-1Hindole-5-carbonitrile 612488-07-0P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-6carbonitrile 612488-09-2P, 5-Bromo-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indol-2-ol612488-11-6P, 5,6-Dibromo-3-[5-[(morpholin-4-yl)methyl]pyridin-2vl]-1H-indol-2-ol 612488-22-9P,

3-[3-Bromo-5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-5-nitro-1H-10-1indol-2-ol 612488-31-0P, 6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(pyrrolidin-1yl)ethyl]nicotinamide 612488-33-2P, 3-[5-[(4-Methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-5-nitro-1H-indol-2-ol 612488-35-4P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(pyrrolidin-1-yl)ethyl]nicotinamide 612488-38-7P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1v1)ethyl]pyridine-3-sulfonamide 612488-41-2P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-Nethylpyridine-3-sulfonamide 612488-52-5P, 3-[5-[(Morpholin-4-yl)methyl]pyridin-2-yl]-5-nitro-1H-indol-2-olRL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (GSK3 inhibitor; preparation of (heterocyclyl)oxindoles and indolols as GSK3 inhibitors for treatment of neurodegenerative diseases, dementia, and related disorders) 612487-72-6 CAPLUS RN CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-

pyridinyl]- (CA INDEX NAME)

RN 612487-75-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

$${\tt NC} \stackrel{\rm H}{\longrightarrow} {\tt CH}_2 \stackrel{\rm N}{\longrightarrow} {\tt NMe}$$

RN 612487-77-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-methyl- (CA INDEX NAME)

RN 612487-80-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 612487-82-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612487-85-1 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-87-3 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(1-pyrrolidinyl)-1-piperidinyl]methyl]-2-pyridinyl]- (CA INDEX NAME)

$$\mathbb{N}^{\mathbb{C}}$$

RN 612488-07-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-09-2 CAPLUS

CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-11-6 CAPLUS

CN 1H-Indol-2-ol, 5,6-dibromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-22-9 CAPLUS

CN 1H-Indol-2-ol, 3-[3-bromo-5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-5-nitro- (CA INDEX NAME)

RN 612488-31-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 612488-33-2 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

$$\circ_2 \mathbf{N} \qquad \stackrel{\mathsf{H}}{\longrightarrow} \qquad \stackrel{\circ}{\longrightarrow} \qquad \stackrel{\mathsf{N}}{\longrightarrow} \qquad \stackrel{\mathsf{Me}}{\longrightarrow} \qquad \stackrel{\mathsf{Me}}{$$

RN 612488-35-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 612488-38-7 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

RN 612488-41-2 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-ethyl- (CA INDEX NAME)

RN 612488-52-5 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

$$\circ_2 \mathbb{N}$$
  $\overset{\mathrm{H}}{\sim}$   $\circ$   $\circ$ 

5-carbonitrile 612487-94-2P,

612487-68-0P, 2-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-ΙT (dimethylamino)ethyl]isonicotinamide 612487-69-1P,  $2- {\tt Hydroxy-3-[4-[(4-methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-1} \\ {\tt H-indole-5-line}$ carbonitrile hydrochloride 612487-70-4P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-1H-indole-5carbonitrile 612487-71-5P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile hydrochloride 612487-73-7P, 2-Hydroxy-3-[6-[2-(morpholin-4-yl)ethoxy]pyrimidin-4-yl]-1H-indole-5carbonitrile 612487-74-8P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile hydrochloride 612487-76-0P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-Nmethylnicotinamide hydrochloride 612487-78-2P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5carbonitrile hydrochloride 612487-79-3P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-3sulfonamide hydrochloride 612487-81-7P, 2-Hydroxy-3-[5-[(pyrrolidin-1-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile hydrochloride 612487-83-9P, 2-Hydroxy-3-[5-[(4-methyl-1,4-diazepan-1-yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile hydrochloride 612487-86-2P, 2-Hydroxy-3-[5-[[4-(pyrrolidin-1-yl)piperidin-1-yl]methyl]pyridin-2-yl]-1Hindole-5-carbonitrile hydrochloride 612487-88-4P, 3-[5-[[3-(Dimethylamino)pyrrolidin-1-yl]methyl]pyridin-2-yl]-2-hydroxy-1Hindole-5-carbonitrile 612487-89-5P, 2-Hydroxy-3-[5-[(4-methylpiperidin-1-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile 612487-90-8P, 2-Hydroxy-3-[5-[(4-phenylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile 612487-91-9P. 3-[5-[(Azetidin-1-yl)methyl]pyridin-2-yl]-2-hydroxy-1H-indole-5carbonitrile 612487-92-0P, 2-Hydroxy-3-[5-[[4-[2-nitro-4-(trifluoromethyl)phenyl]piperazin-1yl]methyl]pyridin-2-yl]-1H-indole-5-carbonitrile 612487-93-1P,

3-[5-[[(2-Cyanoethyl)(ethyl)amino]methyl]pyridin-2-yl]-2-hydroxy-1H-indole-

```
3-[5-[[(4-Chlorobenzyl)(methyl)amino]methyl]pyridin-2-yl]-2-hydroxy-1H-
indole-5-carbonitrile 612487-95-3P,
3-[5-[[[(2-Furyl)methyl](methyl)amino]methyl]pyridin-2-yl]-2-hydroxy-1H-
indole-5-carbonitrile 612487-96-4P,
2-Hydroxy-3-[5-[[methyl(phenyl)amino]methyl]pyridin-2-yl]-1H-indole-5-
carbonitrile 612487-97-5P,
2-Hydroxy-3-[5-[(3-methylpiperidin-1-yl)methyl]pyridin-2-yl]I-1H-indole-5-
carbonitrile 612487-98-6P,
3-[5-[[Cyclohexyl(methyl)amino]methyl]pyridin-2-yl]-2-hydroxy-1H-indole-5-
carbonitrile 612487-99-7P,
2-Hydroxy-3-[5-[(piperidin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
carbonitrile 612488-00-3P,
3-[5-[(4-Methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indol-2-ol
hydrochloride 612488-01-4P,
ol hydrochloride 612488-03-6P,
3-[5-[(Morpholin-4-y1)carbonyl]pyridin-2-y1]-5-nitro-1H-indol-2-ol
612488-05-8F, 6-Bromo-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
1H-indol-2-ol hydrochloride 612488-06-9P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 612488-08-1P,
5-Bromo-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indol-2-ol
hydrochloride 612488-10-5P,
5,6-Dibromo-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indol-2-ol
hydrochloride 612488-14-9P,
3-[5-[(4-Benzylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-2-hydroxy-1H-indole-5-
carbonitrile hydrochloride 612488-15-0P,
2-Hydroxy-3-[5-[[4-(3-methylbutyl)piperazin-1-yl]sulfonyl]pyridin-2-yl]-1H-
indole-5-carbonitrile hydrochloride 612488-16-1P,
2-Hydroxy-3-[5-[(4-isopropylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-
indole-5-carbonitrile hydrochloride 612488-17-2P,
3-[5-[(4-Ethylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-2-hydroxy-1H-indole-5-
carbonitrile hydrochloride 612488-18-3P,
3-[5-[(Morpholin-4-yl)methyl]pyridin-2-yl]-5-(pyridin-3-yl)-1H-indol-2-ol
612488 - 19 - 4P, 3 - [5 - [(Morpholin - 4 - yl)methyl]pyridin - 2 - yl] - 5 - (thien - yl)methyl
2-yl)-1H-indol-2-ol hydrochloride 612488-20-7P,
5-(2-Fury1)-3-[5-(morpholin-4-y1)methy1]pyridin-2-y1]-1H-indol-2-ol
hydrochloride 612488-21-8P,
3-[3-Bromo-5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-5-nitro-1H-
indol-2-ol hydrochloride 612488-23-0P,
3-[5-[(Morpholin-4-yl)methyl]pyridin-2-yl]-5-(trifluoromethyl)-1H-indol-2-
ol hydrochloride 612488-24-1P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 612488-25-2P,
N-[(1-Ethylpyrrolidin-2-yl)methyl]-6-(2-hydroxy-5-nitro-1H-indol-3-
yl)nicotinamide hydrochloride 612488-26-3P,
6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(morpholin-4-
yl)ethyl]nicotinamide hydrochloride 612488-27-4P,
6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-methyl-N-(1-methylpiperidin-4-
yl)nicotinamide hydrochloride 612488-28-5P,
5-Nitro-3-[5-[[4-(pyrrolidin-1-yl)piperidin-1-yl]carbonyl]pyridin-2-yl]-1H-
indol-2-ol hydrochloride 612488-29-6P,
3-[5-[[3-(Dimethylamino)pyrrolidin-1-yl]carbonyl]pyridin-2-yl]-5-nitro-1H-
indol-2-ol hydrochloride 612488-30-9P,
N-[2-(Dimethylamino)-1-methylethyl]-6-(2-hydroxy-5-nitro-1H-indol-3-
yl)nicotinamide hydrochloride 612488-32-1P,
6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(pyrrolidin-1-
yl)ethyl]nicotinamide fumarate 612488-34-3P,
3-[5-[(4-Methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-5-nitro-1H-indol-2-ol
fumarate 612488-36-5P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-
```

```
(pyrrolidin-1-yl)ethyl]nicotinamide fumarate 612488-37-6P,
6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1-
yl)ethyl]pyridine-3-sulfonamide hydrochloride 612488-40-1P,
6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]pyridine-3-
sulfonamide fumarate 612488-42-3P,
6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-
ethylpyridine-3-sulfonamide fumarate 612488-43-4P,
6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[(1-ethylpyrrolidin-2-
v1) methyl]pyridine-3-sulfonamide 612488-44-5P,
2-Hydroxy-3-[5-[(4-methyl-1,4-diazepan-1-yl)sulfonyl]pyridin-2-yl]-1H-
indole-5-carbonitrile 612488-45-6P,
2-Hydroxy-3-[5-[(morpholin-4-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-
carbonitrile 612488-46-7P.
yl)-1H-indol-2-ol hydrochloride 612488-48-9P,
3-[5-[(4-Methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-5-(thiazol-4-yl)-1H-
indol-2-ol fumarate 612488-49-0P,
3-[5-[(4-Methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-5-(oxazol-5-yl)-1H-
indol-2-ol 612488-50-3P,
3-[5-[(Morpholin-4-yl)methyl]pyridin-2-yl]-5-nitro-1H-indol-2-ol
hydrochloride 612488-55-8P,
6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[(1-ethylpyrrolidin-2-
yl)methyl]pyridine-3-sulfonamide fumarate 612488-57-0P,
2-Hydroxy-3-[5-[(4-methyl-1,4-diazepan-1-yl)sulfonyl]pyridin-2-yl]-1H-
indole-5-carbonitrile fumarate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (GSK3 inhibitor; preparation of (heterocyclyl)oxindoles and indolols as GSK3
  inhibitors for treatment of neurodegenerative diseases, dementia, and
  related disorders)
612487-68-0 CAPLUS
(dimethylamino)ethyl]- (CA INDEX NAME)
```

RN CN

RN 612487-69-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[4-[(4-methyl-1-piperazinyl)carbonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

$$\operatorname{NC} \overset{\operatorname{H}}{\longrightarrow} \operatorname{OH} \overset{\operatorname{O}}{\longrightarrow} \operatorname{IV} \overset{\operatorname{Me}}{\longrightarrow} \operatorname{Me}$$

RN 612487-70-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

$$\operatorname{NC} \overset{\operatorname{H}}{\longrightarrow} \overset{\circ}{\longrightarrow} \overset{\circ}{\longrightarrow} \overset{\operatorname{Me}}{\longrightarrow} \overset{\operatorname{Me}$$

RN 612487-71-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-73-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[6-[2-(4-morpholinyl)ethoxy]-4-pyrimidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 612487-74-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

RN 612487-76-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-methyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-78-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

$$\operatorname{NC} = \left( \begin{array}{c} H \\ N \\ \end{array} \right) \left( \begin{array}{c} H \\ N \\ \end{array} \right)$$

●x HCl

RN 612487-79-3 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-81-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-83-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-2-pyridinyl]-2-hydroxy-, hydrochloride (1:?) (CA INDEX NAME)

RN 612487-86-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(1-pyrrolidinyl)-1-piperidinyl]methyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

$$_{\rm NC}$$
  $_{\rm NC}$   $_{\rm$ 

RN 612487-88-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-89-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperidinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

$$\operatorname{NC} \xrightarrow{\operatorname{H}} \operatorname{OH} \operatorname{CH}_2 \xrightarrow{\operatorname{N}} \operatorname{Me}$$

RN 612487-90-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-91-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-(1-azetidinylmethyl)-2-pyridinyl]-2-hydroxy-(CA INDEX NAME)

RN 612487-92-0 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-[2-nitro-4-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-93-1 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[[(2-cyanoethyl)ethylamino]methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-94-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[[[(4-chlorophenyl)methyl]methylamino]methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-95-3 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[[(2-furanylmethyl)methylamino]methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-96-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(methylphenylamino)methyl]-2-pyridinyl]- (CA INDEX NAME)

$$\operatorname{NC} \overset{H}{\overset{}{\overset{}{\overset{}{\overset{}{\overset{}{\overset{}{\overset{}{\overset{}{\overset{}}{\overset$$

RN 612487-97-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(3-methyl-1-piperidinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

$$NC$$
 $H$ 
 $OH$ 
 $CH_2$ 
 $Mo$ 

RN 612487-98-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(cyclohexylmethylamino)methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-99-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-00-3 CAPLUS

CN 1H-Indol-2-ol, 3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-01-4 CAPLUS

CN 1H-Indol-2-ol, 6-chloro-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-03-6 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl]-4-morpholinyl-(CA INDEX NAME)

$$\circ_2 \mathbb{N} \xrightarrow{\mathbb{N}} \overset{\mathbb{N}}{\mathbb{N}} \overset{\circ}{\longrightarrow} \mathbb{N}$$

RN 612488-05-8 CAPLUS

CN 1H-Indol-2-ol, 6-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-06-9 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-08-1 CAPLUS

CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Br 
$$H$$
  $OH$   $CH_2$   $N$   $OH$ 

RN 612488-10-5 CAPLUS

CN 1H-Indol-2-ol, 5,6-dibromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-14-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(phenylmethyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-15-0 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(3-methylbutyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-16-1 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(1-methylethyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-17-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-2-hydroxy-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-18-3 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-(3-pyridinyl)- (CA INDEX NAME)

RN 612488-19-4 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-(2-thienyl)-, hydrochloride (1:?) (CA INDEX NAME)

$$\mathbb{C}^{\mathbb{S}}$$

●x HCl

RN 612488-20-7 CAPLUS

CN 1H-Indol-2-ol, 5-(2-furanyl)-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-21-8 CAPLUS

CN 1H-Indol-2-ol, 3-[3-bromo-5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-5-nitro-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-23-0 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-(trifluoromethyl)-, hydrochloride (1:?) (CA INDEX NAME)

$$F_3$$
C  $H_2$   $OH$   $CH_2$   $OH$   $OH$ 

RN 612488-24-1 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-25-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-6-(2-hydroxy-5-nitro-1H-indol-3-yl)-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-26-3 CAPLUS

CN 3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(4-morpholinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

RN 612488-27-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-yl)-N-methyl-N-(1-methyl-4-piperidinyl)-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-28-5 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl][4-(1-pyrrolidinyl)-1-piperidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-29-6 CAPLUS

CN Methanone, [3-(dimethylamino)-1-pyrrolidinyl][6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-30-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-(dimethylamino)-1-methylethyl]-6-(2-hydroxy-5-nitro-1H-indol-3-yl)-, hydrochloride (1:?) (CA INDEX NAME)

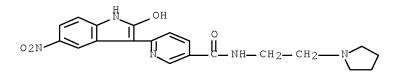
●x HCl

RN 612488-32-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-31-0 CMF C20 H21 N5 O4



CM 2

CRN 110-17-8 CMF C4 H4 O4

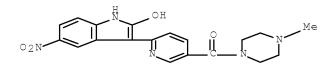
Double bond geometry as shown.

RN 612488-34-3 CAPLUS

CN Piperazine, 1-[[6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl]carbonyl]-4-methyl-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-33-2 CMF C19 H19 N5 O4



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-36-5 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-35-4 CMF C21 H21 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-37-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-40-1 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-39-8 CMF C18 H19 N5 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-42-3 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-ethyl-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-41-2 CMF C20 H23 N5 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-43-4 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]- (CA INDEX NAME)

RN 612488-44-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)sulfonyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612488-45-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylsulfonyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-46-7 CAPLUS

CN 1H-Indol-2-ol, 3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-5-(2-methyl-4-thiazolyl)-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

RN 612488-48-9 CAPLUS

CN Piperazine, 1-[[6-[2-hydroxy-5-(4-thiazolyl)-1H-indol-3-yl]-3-pyridinyl]sulfonyl]-4-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-47-8 CMF C21 H21 N5 O3 S2

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ \end{array}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-49-0 CAPLUS

CN 1H-Indol-2-ol, 3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-5-(5-oxazolyl)- (CA INDEX NAME)

RN 612488-50-3 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro-, hydrochloride (1:?) (CA INDEX NAME)

$$O_2N$$
 $O_2N$ 
 $O_2N$ 

RN 612488-55-8 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-43-4 CMF C21 H23 N5 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-57-0 CAPLUS

CN 1H-1,4-Diazepine, 1-[[6-(5-cyano-2-hydroxy-1H-indol-3-yl)-3-pyridinyl]sulfonyl]hexahydro-4-methyl-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-44-5 CMF C20 H21 N5 O3 S

$$\operatorname{NC} \overset{\operatorname{H}}{\longrightarrow} \operatorname{OH} \overset{\operatorname{O}}{\longrightarrow} \operatorname{N} \overset{\operatorname{M}}{\longrightarrow} \operatorname{Me}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

IT 612487-59-9P 612487-60-2P 612487-65-7P,

3-[5-[(Morpholin-4-yl)methyl]-1-oxidopyridin-2-yl]-5-(pyridin-3-yl)-1H-indol-2-ol 612487-66-8P,

3-[5-[(Morpholin-4-yl)methyl]-1-oxidopyridin-2-yl]-5-(thien-2-yl)-1H-indol-2-ol 612487-67-9P, 5-(2-Furyl)-3-[5-[(morpholin-4-yl)methyl]-1-oxidopyridin-2-yl]-1H-indol-2-ol 612487-84-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (heterocyclyl)oxindoles and indolols as GSK3 inhibitors for treatment of neurodegenerative diseases, dementia, and related disorders)

RN 612487-59-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-(2-hydroxy-5-nitro-1H-indol-3-yl)-, ethyl ester (CA INDEX NAME)

RN 612487-60-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-, ethyl ester (CA INDEX NAME)

RN 612487-65-7 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-1-oxido-2-pyridinyl]-5-(3-pyridinyl)- (CA INDEX NAME)

RN 612487-66-8 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-1-oxido-2-pyridinyl]-5-(2-thienyl)- (CA INDEX NAME)

RN 612487-67-9 CAPLUS

CN 1H-Indol-2-ol, 5-(2-furanyl)-3-[5-(4-morpholinylmethyl)-1-oxido-2-pyridinyl]- (CA INDEX NAME)

RN 612487-84-0 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-1-oxido-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:711467 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 139:307657

TITLE: Catalytic enantioselective synthesis of oxindoles and

benzofuranones that bear a quaternary stereocenter

AUTHOR(S): Hills, Ivory D.; Fu, Gregory C.

CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of

Technology, Cambridge, MA, 20139, USA

SOURCE: Angewandte Chemie, International Edition (2003),

42(33), 3921-3924

CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:307657

GΙ

AB A new method for the catalytic enantioselective rearrangement of O-acylated benzofuranones, e.g. I, and oxindoles to produce their C-acylated isomers, e.g. II, has been reported. This is an efficient carbon-carbon bond-forming reaction that generates a quaternary stereocenter utilizing an iron complex (III) of 4-pyrrolidinopyrindine as a planar-chiral catalyst. On the mechanistic side, the authors have crystallog. characterized the presumed intermediate in this process.

IT 610304-98-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(catalytic enantioselective synthesis of oxindoles and benzofuranones that bear a quaternary stereocenter)

RN 610304-98-8 CAPLUS

CN Carbonic acid, 1-methyl-3-(2-thienyl)-1H-indol-2-yl 2,2,2-trichloro-1,1-dimethylethyl ester (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:814114 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 137:325434

TITLE: Preparation of triazinyl amides as angiogenesis

inhibitors

INVENTOR(S): Geuns-Meyer, Stephanie D.; Dipietro, Lucian V.; Kim,

Joseph L.; Patel, Vinod F.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPLICATION NO.					DATE		
WO 2002083654					A1 20021024			WO 2002-US11675						20020411			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	ΤΤ,	${\sf TZ}$ ,
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZW									
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
US 20030087908				A1		2003	0508		US 2	002-	1209.	39		2	0020	410	
US	6864	255			В2		2005	0308									

CA	2443	366			A1		2002	1024	CA 2002-2443366 20020411
AU	AU 2002338645						2002	1028	AU 2002-338645 20020411
EP	EP 1385833				A1	20040204			EP 2002-762087 20020411
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY, AL, TR
PRIORIT	Y APP	LN.	INFO	.:					US 2001-282977P P 20010411
									US 2002-120939 A 20020410
									WO 2002-US11675 W 20020411

OTHER SOURCE(S): MARPAT 137:325434

GΙ

The triazinyl amides I [wherein R1 = (un)substituted Ph or heteroaryl; R2 = H, halo, R3, R8, NHR3, NHR5, NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, heterocyclyl, or (un)substituted alkyl, etc.; R3 = Ph or (un)substituted heteroaryl; R5 = H, alkynyl, aryl, R9, or (un)substituted (cyclo)alkyl or (cyclo)alkenyl, etc.; R6 = COR5, CO2R5, CONR5R5, C(=NR5)NR5R5, or SONR5; R8 and R9 = independently mono-, bi-, or tri-cyclic ring, etc.; n = 1 or 2; aryl = (un)substituted mono-, bi-, or tri-cyclic aromatic ring, etc.; or analogs, prodrugs, and pharmaceutically acceptable salts thereof] were prepared for prophylaxis and treatment of cancer and angiogenesis-related diseases. For example, the triazinyl benzamide II was prepared in a multiple-step synthesis including the final coupling reaction of [4-(2-chlorobenzimidazol-1-yl)-[1,3,5]triazin-2-yl]- (3,4,5-trimethoxyphenyl)amine with 3-amino-N-(4-phenoxyphenyl)benzamide in isopropanol in the presence of DIEA. I showed inhibition of KDR kinase at doses less than 50  $\mu$ M.

IT 333728-93-1P 333729-76-3P 333730-27-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of triazinyl amides as angiogenesis inhibitors)

RN 333728-93-1 CAPLUS

CN 1H-Indol-2-ol, 3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]-(CA INDEX NAME)

RN 333729-76-3 CAPLUS

CN 1H-Indol-2-ol, 1-methyl-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-

RN 333730-27-1 CAPLUS

CN 1H-Indol-2-ol, 5-chloro-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{OMe} \\ & & \text{OMe} \\ \hline \\ \text{C1} & & \text{N} \\ \end{array}$$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:742304 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 138:204903

TITLE: Study on direct benzoannelations of pyrrole and indole

systems by domino reactions with 4,5-dicyanopyridazine

AUTHOR(S): Giomi, Donatella; Cecchi, Marco

CORPORATE SOURCE: Dipartimento di Chimica Organica 'Ugo Schiff',

Universita di Firenze, Sesto Fiorentino, I-50019,

Italy

SOURCE: Tetrahedron (2002), 58(40), 8067-8071

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:204903

AB 4,5-Dicyanopyridazine (I) underwent hetero Diels-Alder [4+2] cycloaddns. on the C(2)-C(3) double bond of pyrrole and indole systems; spontaneous loss of nitrogen from the primary adducts, followed by oxidation processes, afforded the corresponding fully aromatic benzoannelated skeletons in modest and reasonable yields, resp. Competitive attacks of the same systems at the strongly electrophilic C-4 carbon of I, leading to substitution products, were evidenced.

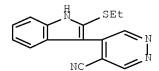
IT 500008-31-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(benzannelations of pyrrole and indole systems by domino reactions with 4.5-dicyanopyridazine)

RN 500008-31-1 CAPLUS

CN 4-Pyridazinecarbonitrile, 5-[2-(ethylthio)-1H-indol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:501900 CAPLUS Full-text

DOCUMENT NUMBER: 135:303820

TITLE: Efficient synthesis of

3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indoles

AUTHOR(S): Hary, U.; Roettig, U.; Paal, M.

CORPORATE SOURCE: Lilly Forschung GmbH, Hamburg, 22419, Germany SOURCE: Tetrahedron Letters (2001), 42(31), 5187-5189

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:303820

GΙ

AB A simple method for the synthesis of various 3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indoles, e.g. I, is described. Treatment of different substituted indoles with 1-acetylimidazolidin-2-one in the presence of phosphorus oxychloride afforded after hydrolysis in ethanol the corresponding 3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indoles in moderate to good yields.

IT 227800-70-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of imidazolylindoles by coupling of indoles with acetylimidazolidinone)

RN 227800-70-6 CAPLUS

CN 1H-Indole, 5-chloro-3-(4,5-dihydro-1H-imidazol-2-yl)-2-(phenylthio)- (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 24 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:265404 CAPLUS Full-text

DOCUMENT NUMBER: 134:295842

TITLE: Preparation of triazine kinase inhibitors

INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Buchanan, John L.; Dipietro, Lucian V.; Elbaum, Daniel; Habgood, Gregory J.; Kim, Joseph L.; Marshall, Teresa L.; Geuns-Meyer, Stephanie D.; Novak, Perry M.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia

M.; Zhu, Xiaotian

PATENT ASSIGNEE(S): Kinetix Pharmaceuticals Inc., USA

PCT Int. Appl., 376 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA						KIND DATE				APPLICATION NO.						DATE		
WO									WO 2000-US27811						20001006			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BE	B, BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	FI,	GB,	GD,	GE,	GH,	GM,	HR,	
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KF	, KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX	, MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TF	R, TT,	TZ,	UA,	UG,	US,	UΖ,	VN,	
		YU,	ZA,	ZW														
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙI	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MF	R, NE,	SN,	TD,	TG				
CA	2386	218			A1		2001	0412		CA	2000-	2386	218		2	0001	006	
EP	1218	360			A1		2002	0703		ΕP	2000-	9720	36		2	0001	006	
EP	1218	360			В1		2008	0528										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	ΑI	ı							
JP	2003	5113	78		Τ		2003	0325		JΡ	2001-	5281	66		2	0001	006	
AU	7706	00			В2		2004	0226		AU	2001-	1075	4		2	0001	006	
AT	3969	78			T		2008	0615		ΑT	2000-	9720	36		2	0001	006	
ES	2306						2008	1116		ES	2000-	9720	36		2	0001	006	
MX	2002	0034	36		Α		2002	0820		MX	2002-	3436			2	0020	404	
PRIORIT	Y APP	LN.	INFO	.:						US	1999-	1581	76P		P 1	9991	007	
										US	1999-	1669	78P		P 1	9991	123	
										US	1999-	1703	78P		P 1	9991	213	
										US	2000-	1832	63P		P 2	0000	217	
										US	2000-	2155	76P		P 2	0000	630	
										US	2000-	2198	01P		P 2	0000	720	
											2000-					0001	006	
OTHER S	MAR:	PAT	134:	2958	42													

OTHER SOURCE(S): MARPAT 134:295842

GΙ

AΒ Title triazine compds. (I) [wherein R1 and R2 = independently R3, R8, NHR3, NHR5, NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, or (un) substituted heterocyclyl or alkyl; R3 = independently aryl or (un) substituted Ph or heteroaryl; R5 = independently H, (un) substituted (cyclo)alkyl or alkenyl, alkynyl, cycloalkenyl, aryl, or haloalkyl; R6 = independently COR5, CO2R5, CONR5R5, C(NR5)NR5R5, or SONR5; R8 = independently (un)substituted mono-, di-, or tricyclic ring system comprising 1-3, 1-6, or 1-9 heteroatoms, resp.; n = 1-2] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. For example, amination of 2,4-dichloro-1,3,5-triazine (preparation given) with 3,4,5trimethoxyaniline in DMF, followed by a second amination with 4-aminoveratrole in the presence of diisopropylethylamine in EtOH, yielded II. In kinase inhibition studies, II gave IC50 values of  $< 0.4 \mu g/mL$  for KDR-1, PDGFRB-1, and Flt-1; 0.4 to 2.4  $\mu$ g/mL for Lck-1; 3.5 to 4.5  $\mu$ g/mL for EGFR-1, Tek-1, and EPGB4-1; and > 4.5  $\mu g/mL$  for IGFR-1, AKT3-1, Met-1, Zap-1, Itk-1, FGFR1-1, and Fyn-1. I and compns. comprising them are useful for the treatment of disease or disease symptoms related to kinase inhibition, such as angiogenesis or vasculogenesis (no data).

IT 333728-93-1P 333729-76-3P 333730-27-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazine kinase inhibitors for inhibiting angiogenesis or vasculogenesis)

RN 333728-93-1 CAPLUS

CN 1H-Indol-2-ol, 3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]-(CA INDEX NAME)

RN 333729-76-3 CAPLUS

CN 1H-Indol-2-ol, 1-methyl-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

RN 333730-27-1 CAPLUS

CN 1H-Indol-2-ol, 5-chloro-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:401581 CAPLUS Full-text

DOCUMENT NUMBER: 131:58827

TITLE: Preparation of hypoglycemic imidazoline compounds INVENTOR(S): Jirousek, Michael Robert; Paal, Michael; Ruhter, Gerd;

Schotten, Theo; Stenzel, Wolfgang; Takeuchi, Kumiko

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: Eur. Pat. Appl., 136 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPL	ICAT	ION :	NO.		D.	ATE		
EP	924209				A1		19990623			 EP 1	 998-	3104	 61		1	9981	218	
ΕP	9242	09			В1		2003	0502										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO											
CA	2315	226			A1		1999	0701		CA 1	998-	2315	226		19981218			
WO	9932	112			A1	19990701				WO 1	998-1	US26	974		19981218			
	W:	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
		ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	
		MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	
		TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW								
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	
		FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
		CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG							
WO	9932	482			A1	A1 19990701				WO 1	998-1	US27	080		1	9981.	218	
	W:	AL,	ΑM,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	
		LR,	LS,	LT,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	RO,	RU,	SD,	
		SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	UA,	UG,	US,	UΖ,	VN,	YU,	ZW		
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	
		GN,	G₩,	$\mathrm{ML}$ ,	MR,	NE,	SN,	TD,	ΤG									
ΑU	9920	030			Α		1999	0712		AU 1999-20030					19981218			
ΑU	9922	016			Α		1999	0712		AU 1999-22016					19981218			
ZA	9811	672			Α		2000	0619		ZA 1998-11672					19981218			
JP	2001	5262	86		Τ		2001	1218		JP 2000-525419					19981218			
ΕP	1266	897			A2		2002	1218		EP 2002-20546					19981218			

EP 1266897 A3 20031203	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,	PT, IE,
SI, LT, LV, FI, RO, CY, AL	
AT 239013 T 20030515 AT 1998-310461 19	981218
PT 924209 T 20030829 PT 1998-310461 19	981218
ES 2198033 T3 20040116 ES 1998-310461 19	981218
US 6410562 B1 20020625 US 2000-581498 20	001208
PRIORITY APPLN. INFO.: US 1997-68195P P 19	971219
EP 1998-310461 A3 19	981218
WO 1998-US26974 W 19	981218
WO 1998-US27080 W 19	981218

OTHER SOURCE(S): MARPAT 131:58827

GΙ

AB The title compds. I [X = 0, S, NR5 with R5 = H, alkyl, protecting group; R1, R1', R2, R3 = H, alkyl; R1 an R2 form a bond an R1' and R3 are H, alkyl; R1 and R2 form a carbocyclic ring; R4 = heterocyclyl; n = 0-2], hypoglycemic agents, were prepared E.g., 5-chloro-2-methyl-3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indole was prepared

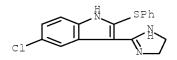
IT 227800-70-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hypoglycemic imidazoline compds.)

RN 227800-70-6 CAPLUS

CN 1H-Indole, 5-chloro-3-(4,5-dihydro-1H-imidazol-2-yl)-2-(phenylthio)- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:707534 CAPLUS Full-text

DOCUMENT NUMBER: 127:346363

ORIGINAL REFERENCE NO.: 127:67962h,67963a

TITLE: Facile synthesis of benzotriazines and indoles by ring

scissions of  $\alpha$ -benzotriazol-1-yl hydrazones

AUTHOR(S): Katritzky, Alan R.; Wang, Jin; Karodia, Nazira; Li,

Jianging

CORPORATE SOURCE: Center for Heterocyclic Compounds, Department of

Chemistry, University of Florida, Gainesville, FL,

32611-7200, USA

SOURCE: Synthetic Communications (1997), 27(22), 3963-3976

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:346363

GΙ

$$N_{N}$$
  $R_{R}$   $I$   $N_{H}$   $OPh$   $II$ 

AB  $\alpha$ -Benzotriazol-1-yl hydrazones were prepared by refluxing the corresponding  $\alpha$ -benzotriazol-1-yl ketones with p-tosyl hydrazide or benzenesulfonyl hydrazide. Treatment of the hydrazones with n-butyllithium in the presence of TMEDA gave benzotriazines (I; R = H, Me) or indoles (II; R1 = p-tolyl, 2-furyl).

IT 198216-44-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (benzotriazines and indoles by ring scissions of  $\alpha$ -benzotriazol-1-yl hydrazones)

RN 198216-44-3 CAPLUS

CN 1H-Indole, 3-(2-furanyl)-2-phenoxy- (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:213284 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 122:81059

ORIGINAL REFERENCE NO.: 122:15399a,15402a

TITLE: 2-Ethoxycarbonyloxy-3-ethynylindoles from

indol-2(3H)-ones

AUTHOR(S): Beccalli, Egle M.; Marchesini, Alessandro; Pilati,

Tullio

CORPORATE SOURCE: Ist. Chim. Org., Univ. Studi Milano, Milano, 20133,

Italv

SOURCE: Tetrahedron (1994), 50(44), 12697-712

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:81059

GΙ

AB The treatment of the 3-[(1-chloro-2-substituted)ethylidene]indol-2(3H)- ones [I; Z = CClCH2R; R = Ph, Me, H, CO2Et, methylthio, 2-thienyl, CH2-CO2Et, methoxy, NH-CO2Me], prepared from indol-2(3H)-one[I; Z = H2], with Et chloroformate and triethylamine gives the Et 3-(ethynyl)-2-(ethoxycarbonyloxy)indole-1-carboxylates II. Some dimeric derivs. of the intermediate allenes have been isolated.

IT 160291-91-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (Ethoxycarbonyloxyethynylindoles from indolones)

RN 160291-91-8 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[(ethoxycarbonyl)oxy]-3-(2-methyl-5-oxazolyl)-, ethyl ester (CA INDEX NAME)

L3 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1994:182350 CAPLUS Full-text

DOCUMENT NUMBER: 120:182350

ORIGINAL REFERENCE NO.: 120:31885a,31888a

TITLE: Interactive multivariate analysis of

bisindolylmaleimides as potent protein kinase C

antagonists

AUTHOR(S): Mager, Peter P.

CORPORATE SOURCE: Inst. Pharmacol. Toxicol., Univ. Leipzig, Leipzig,

7010, Germany

SOURCE: Drug Design and Discovery (1993), 10(3), 231-48

CODEN: DDDIEV; ISSN: 1055-9612

DOCUMENT TYPE: Journal LANGUAGE: English

AB The isoenzyme protein kinase C (PKC) inhibitory activity of substituted bisindolylmaleimides depends on the mol. weight, the total charge, and dipole moments. The validity of the resulting QSAR equation was investigated by

interactive diagnostic statistics and multivariate simultaneous statistical inference. Mol. mechanics and dynamics can be used to study possible reasons of flagged observations (high-leverage points, influential data, outliers) of QSAR systems.

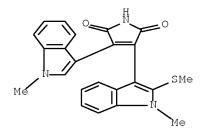
IT 125313-56-6 125334-43-2

RL: BIOL (Biological study)

(protein kinase C inhibitory activity of, QSAR study of)

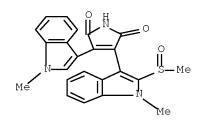
RN 125313-56-6 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (CA INDEX NAME)



RN 125334-43-2 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylsulfinyl)-1H-indol-3-yl]- (CA INDEX NAME)



L3 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1992:41230 CAPLUS Full-text

DOCUMENT NUMBER: 116:41230

ORIGINAL REFERENCE NO.: 116:7065a,7068a

TITLE: Inhibitors of protein kinase C. 1.

2,3-bisarylmaleimides

AUTHOR(S): Davis, Peter D.; Hill, Christopher H.; Lawton,

Geoffrey; Nixon, John S.; Wilkinson, Sandra E.; Hurst,

Steven A.; Keech, Elizabeth; Turner, Susan E.

CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City/Herts., AL7 3AY,

UK

SOURCE: Journal of Medicinal Chemistry (1992), 35(1), 177-84

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:41230

GI

As series of novel inhibitors, i.e., maleimides I (R = H, Me; R1 = (un)substituted indolyl, (un)substituted Ph, naphthyl, benzo[b]thien-3-yl, benzo[b]furan-3-yl, 3-pyrrolyl) of protein kinase C (PKC) is described. These maleimides were derived from the structural lead provided by the indolocarbazoles, staurosporine and K252a. Optimum activity required the imide NH, both carbonyl groups, and the olefinic bond of the maleimide ring. Bisindolylmaleimides were the most active and the potency of these was improved by a chloro substituent at the 5-position of one indole ring (IC50 0.11 μM). In a series of (phenylindolyl)maleimides, nitro derivative I (R = Me, R1 = 2-02NC6H5) was most active (IC50 0.67 μM). Naphthalene compound I (R = Me, R2 = benzo[b]thien-3-yl) showed greater than 100-fold selectivity for inhibition of PKC over the closely related cAMP-dependent protein kinase.

IT 125313-56-6P 125334-43-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and protein kinase C inhibiting activity of)

RN 125313-56-6 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (CA INDEX NAME)

RN 125334-43-2 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylsulfinyl)-1H-indol-3-yl]- (CA INDEX NAME)

L3 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1990:98378 CAPLUS Full-text

DOCUMENT NUMBER: 112:98378

ORIGINAL REFERENCE NO.: 112:16731a,16734a

TITLE: Preparation of 3-(3-indoly1)pyrrole-2,5-diones and

analogs as protein kinase inhibitors

INVENTOR(S): Davis, Peter David; Hill, Christopher Huw; Lawton,

Geoffrey

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	A1	19890816	EP 1989-102025	19890206
EP 328026	B1	19930428		
R: AT, BE, CH,	DE, ES	, FR, GB, G	R, IT, LI, LU, NL, SE	
ZA 8900865	A		ZA 1989-865	
CZ 280738	В6	19960417	CZ 1989-752	19890203
SK 278989	В6	19980506	SK 1989-752	19890203
AU 8929658	A		AU 1989-29658	19890206
AU 623630	B2	19920521		
НU 49348 НU 201054	A2	19890928	HU 1989-554	19890206
HU 201054	В	19900928		
US 5057614	A	19911015	US 1989-307104	19890206
AT 88704	T	19930515	AT 1989-102025	19890206
CA 1320194	С	19930713	CA 1989-590178	19890206
ES 2054890	Т3	19940816	ES 1989-102025	19890206
DK 8900558	A	19890811	DK 1989-558	19890207
DK 171891		19970804		
JP 01233281	A	19890919	JP 1989-27741	19890208
JP 07030071	В	19950405		
NO 8900568	A	19890811	NO 1989-568	19890209
NO 172540	В	19930426		
NO 172540	С	19930804		
SU 1799382	А3	19930228	SU 1989-4613492	19890209
FI 8900652	A	19890811	FI 1989-652	19890210
FI 96861	В	19960531		
FI 96861	С	19960910		
US 36736	E	20000613	US 1998-14198	19980127
PRIORITY APPLN. INFO.:			GB 1988-3048	
				A 19881125
			EP 1989-102025	A 19890206
			US 1989-307104	A5 19890206
GT				

AB The title compds. (I; R1, R2 = H, alkyl, aryl, etc.; R3 = aryl, heteroaryl; R4-R7 = H, halo, alkyl, alkoxy, etc.; 1 of X, Y = O and the other = O, S, H and OH, H and H) were prepared Thus, 1-(3-bromopropyl) indole (preparation given) was stirred 2 h with (COCl)2 in CH2Cl2 and the product stirred 3 h with 1-methyl-3-indolylacetic acid in CH2Cl2 containing (Me2CH)2NEt to give bis(indolyl)furandione II (R = Br, Z = O) which was converted in 3 steps to II (R = NH2, Z = NH). The latter was stirred 16 h with 1,1'-thiocarbonyldiimidazole in THF to give II (R = NCS, Z = NH) which had IC50 of  $0.008~\mu\text{M}$  for inhibition of protein kinase C in vitro.

IT 125314-93-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of protein kinase inhibitors) 125314-93-4 CAPLUS

CN 2,5-Furandione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (CA INDEX NAME)

IT 125313-56-6P 125334-43-2P

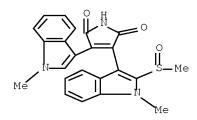
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as protein kinase inhibitor)

RN 125313-56-6 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (CA INDEX NAME)

RN 125334-43-2 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylsulfinyl)-1H-indol-3-yl]- (CA INDEX NAME)



L3 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1989:135048 CAPLUS Full-text

DOCUMENT NUMBER: 110:135048

ORIGINAL REFERENCE NO.: 110:22291a,22294a

TITLE: 3-Pyridiniumindolyl-2-thiolates - new type of

functionalized indoles

AUTHOR(S): Gonda, Jozef; Kristian, Pavol

CORPORATE SOURCE: Dep. Org. Chem., P. J. Safarik Univ., Kosice, 041 67,

Czech.

SOURCE: Collection of Czechoslovak Chemical Communications

(1988), 53(8), 1761-9

CODEN: CCCCAK; ISSN: 0366-547X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:135048

GΙ

2-Bromomethylphenyl isothiocyanate reacts with pyridines to give 2-isothiocyanatobenzyl-pyridinium bromides I (R = H, 2-, 3-, 4-Me).

Deprotonation of these compds. with NaOEt in EtOH or NaH in Me2SO afforded novel type of functionalized indoles, 3-pyridiniumindolyl-2-thiolates II. Reaction of I with KOH or KCN gave products of addition to the NCS group. Structure of I was proven by IR, 1H-, 13C-NMR, and mass spectra and of II (R = H) was confirmed by x-ray diffraction anal.

IT 119476-19-6P 119476-20-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 119476-19-6 CAPLUS

CN Pyridinium, 1-[2-(methylthio)-1H-indol-3-yl]-, iodide (1:1) (CA INDEX NAME)

• I -

RN 119476-20-9 CAPLUS

CN Pyridinium, 2-methyl-1-[2-(methylthio)-1H-indol-3-yl]-, iodide (1:1) (CA INDEX NAME)

• I -

L3 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1971:540653 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 75:140653

ORIGINAL REFERENCE NO.: 75:22193a,22196a

TITLE: Tertiary amine oxides. XLIII. Reactions of aromatic

N-oxides with alkoxyindoles in the presence of

acylating agents

AUTHOR(S): Hamana, Masatomo; Kumadaki, Itsumaro

CORPORATE SOURCE: Fac. Pharm. Sci., Kyushu Univ., Fukuoka, Japan SOURCE: Chemical & Pharmaceutical Bulletin (1971), 19(8),

1669-80

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB 1-Methyl-2-ethoxy-(I), 2-ethoxy-(II) and 3-methoxyindoles (III) were treated with N-oxides of pyridines in the presence of an acylating agent. The reaction of I with quinoline 1-oxide (IV) in the presence of tosyl chloride or BzCl progressed in the cold, and 1-methyl-2-ethoxy-3-(2-quinolyl)indole was obtained. The reaction under heating gave V. 2-Chloro- and 4-chloroquinoline 1-oxide as well as pyridine and 4-chloropyridine 1-oxides reacted similarly with I in the presence of tosyl chloride to give the corresponding 3-substituted indoles. Similar reaction of II with IV yielded 2-ethoxy-3-(2-quinolyl)indole(VI). The reaction of III with IV or Et nicotinoate 1-oxide led to the formation of 2-substituted 3-methoxyindoles such as VII; the yield

of VII was poor. The mechanism of the reductive deethoxylation of 2-ethoxy-3-(2-quinolyl or 2-pyridyl)indoles by LiAlH4 was discussed.

IT 33919-94-7P

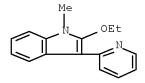
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 33919-94-7 CAPLUS

CN 1H-Indole, 2-ethoxy-1-methyl-3-(2-pyridinyl)-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

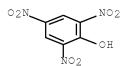
CM 1

CRN 46960-57-0 CMF C16 H16 N2 O



CM 2

CRN 88-89-1 CMF C6 H3 N3 O7



L3 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1967:516778 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 67:116778

ORIGINAL REFERENCE NO.: 67:21995a,21998a

TITLE: Reactions of 3,4-dehydroproline with substituted

isatins

AUTHOR(S): Hudson, C. B.; Robertson, Alexander V.

CORPORATE SOURCE: Univ. Sydney, Sydney, Australia

SOURCE: Australian Journal of Chemistry (1967), 20(7), 1521-31

CODEN: AJCHAS; ISSN: 0004-9425

DOCUMENT TYPE: Journal LANGUAGE: English

AB Substituted isatins having a free NH group react with 3,4-dehydroproline, like isatin itself, to give 3-(1-pyrrolyl)oxindoles. Analysis of the N.M.R. spectra of the 5-nitro and 5,7-dibromo analogs confirms that the isatins condense at their 3- and not their 2-carbonyl groups. N-Alkylisatins form similar products which, depending on the conditions, may react with a further mol. of the isatin to give unstable diadducts whose structures have been determined 20 references.

IT 16176-46-8P 16176-47-9P 16176-48-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 16176-46-8 CAPLUS

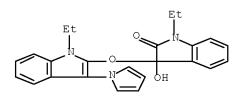
CN 2H-Indol-2-one, 1,3-dihydro-3-hydroxy-1-methyl-3-[[1-methyl-3-(1H-pyrrol-1-yl)-1H-indol-2-yl]oxy]- (CA INDEX NAME)

RN 16176-47-9 CAPLUS

CN 2H-Indol-2-one, 3-[[1,5-dimethyl-3-(1H-pyrrol-1-yl)-1H-indol-2-yl]oxy]-1,3-dihydro-3-hydroxy-1,5-dimethyl- (CA INDEX NAME)

RN 16176-48-0 CAPLUS

CN 2H-Indol-2-one, 1-ethyl-3-[[1-ethyl-3-(1H-pyrrol-1-yl)-1H-indol-2-yl]oxy]-1,3-dihydro-3-hydroxy- (CA INDEX NAME)



L3 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1967:47300 CAPLUS Full-text

DOCUMENT NUMBER: 66:47300

ORIGINAL REFERENCE NO.: 66:8979a,8982a

TITLE: Synthesis of a vat polymer,

poly(5,5'-biisatyl[thiophene]indophenine)

AUTHOR(S): Shopov, Ivan

CORPORATE SOURCE: Bulgarian Acad. Sci., Sofia, Bulg.

SOURCE: Journal of Polymer Science, Polymer Letters Edition

(1966), 4(12), 1023-8

CODEN: JPYBAN; ISSN: 0360-6384

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

The title polymer (I), prepared by polycondensation of thiophene (II) and AB 5,5'-biisatyl (III), was reduced to its leuco form (IV) to give a polymer vat dye, which oxidized in air to give a polymer with photoelec. and semiconductive properties. Thus, 1.68 g. II in 75 ml. AcOH was added to a cooled solution of 2.92 g. III in 150 ml. H2SO4. The solution changed from dark red to dark blue-green with a slight exotherm. After stirring 1 hr., the polymer was precipitated in H2O, washed with H2O, extracted with EtOH, and dried to yield 94% I, a dark-blue powder. An aqueous solution of 1.6 g. Na2S2O6, 2 g. NaOH, 1 g. I, and 60 ml. H2O turned darkbrown under N. Filtration under N left IV, which dyed cotton and linen dark-blue. In air, IV oxidized and repptd. I. The oxidation rate was increased by acidifying the solution and using Na2S as a reducing agent. I had an intensive E.P.R. signal, showed a dark conductivity which decreased with increasing temperature and illumination, and was a p-type semiconductor. I gradually carbonized, but did not burn upon heating.

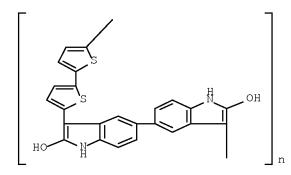
IT 32198-46-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 32198-46-2 CAPLUS

CN Poly[(2,2'-dihydroxy[5,5'-bi-1H-indole]-3,3'-diyl)[2,2'-bithiophene]-5,5'-diyl] (9CI) (CA INDEX NAME)



L3 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1958:25539 CAPLUS Full-text

DOCUMENT NUMBER: 52:25539

ORIGINAL REFERENCE NO.: 52:4639a-i,4640a

TITLE: Structure of isatin blue

AUTHOR(S): Johnson, A. W.; McCaldin, D. J.

CORPORATE SOURCE: Univ. Nottingham, UK

SOURCE: Journal of the Chemical Society (1957) 3470-7

CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

AB New structures were suggested for isatin blue (I) and the related compds. derived by condensation of isatin (II) with either cyclic secondary bases or cyclic  $\alpha$ -iminocarboxylic acids. II and piperidine (III) reacted in warm alc. solution (method A) or under anhydrous conditions (method B). II (10 g.) in 250 cc. C6H6 refluxed with a Dean and Stark apparatus until all the H2O was removed, 22 g. dry III added, the heating continued 10 min., a further 0.9 cc.

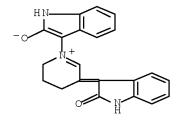
```
H2O collected, and the product isolated gave 9.3 g. 3,3-dipiperidinooxindole
(IV). Above 100° IV was converted into I. Method C: Isatin \beta-p-nitroanil (500
mq.) and 1.5 cc. III shaken 3 hrs. at room temperature in 5 cc. alc. and 45
cc. xylene gave 350 mg. IV. Method C with 1 g. II \beta-anil (IVa) and 5 g.
pyrrolidine (V) in 2 cc. MeOH and 48 cc. xylene gave 985 mg. 3,3-
dipyrrolidinooxindole (VI). VI liberated V and became bright blue at 100°.
Method C with 0.5 g. IVa and 1.5 cc. morpholine gave 400 mg. 3,3-
dimorpholinooxindole (VII). VII was more stable than IV or VI. VII above 120°
decomposed with formation of the blue product. 3,3-Di(1,2,3,4-tetrahydro-1-
quinolinyl)oxindole was prepared by method A in 44% yield, prisms, m. 296-8^{\circ}
(MeOH). Similarly, 19%
3,3-di(1,2,3,4-tetrahydro-2-isoquinolinyl)oxindole was obtained as prisms, m.
268-70° (decomposition). Solns. in hot MeOH were purple but became colorless
on cooling. II (0.5 \text{ g.}) and 1.1 \text{ g.} indoline by method A gave 0.2 \text{ g.} 3,3-
diindolinooxindole as prisms, m. 204-6^{\circ} (MeOH). IV at 60^{\circ} with Ac2O gave 500
mg. I as blue prisms, m. 230° (MeOH) (decomposition). L-Pipecolic acid (VIIa)
(150 mg.) and 300 mg. II refluxed 0.5 hr. in 15 cc. alc. gave 80 mg. I.
Attempted condensation of II and the acid according to the method of Grassmann
and Arnim (C.A. 29, 73255) gave N-acetylisatin as the chief product. II (440
mg.) and 100 mg. V warmed 0.5 hr. with 2N AcOH gave 304 mg. compound,
C20H15O2N3 (VIII), crystallized from MeOH. II (650 mg.) and 255 mg. L-proline
in 50 cc. phosphate buffer solution refluxed 15 min. gave 181 mg. product
which showed an ultraviolet and visible spectrum identical with that of VIII.
VII (2.5 g.) in 25 cc. xylene and Ac20 refluxed 0.5 hr. gave 600 mg. product,
C20H15O3N3, blue prisms. II (0.5 g.) in 10 cc. xylene and 0.5 g. 2-
methylpiperidine refluxed 4 hrs. gave 460 mg. blue pigment, C22H19O2N3.
Similarly, 1.8 g. II and 0.6 g. 3-methylpiperidine refluxed 1.5 hrs. in xylene
gave 90 mg. blue pigment, C22H19N3O2.MeOH. Longer heating of the reactants
gave a brown tar. II (0.4 g.) and 0.2 g. cis-octahydroindole in xylene
refluxed 2 hrs. gave 170 mg. C24H2IO2N3.MeOH. N-Methylisatin (0.5 g.) and 0.5
g. V heated 1 hr. with 25 cc. 2N AcOH gave 465 mg. C22H15O2N3, infrared
spectrum in Nujol showed no medium or strong absorption below 1673 cm.-1 Other
bands were at 1634, 1599, and 1582 cm.-1 II (1 g.) and 0.5 g. isoindoline-HCl
heated 10 min. in AcOH gave 130 mg. product which gave a blue solution in
concentrated H2SO4. Acenaphthenequinone (0.3 g.) and 150 mg. VIIa refluxed
0.5 hr. in 30 cc. alc. gave 179 mg. C29H19O2N. II and III in equimolar amts.
gave isatic acid piperidide, prisms, m. 135^{\circ} (alc.); acetate, needles, m. 135^{\circ}
(50% aqueous MeOH). 5-Bromoisatin (IX) and III gave 5-bromoisatic acid
piperidide, yellow prisms, m. 206-8° (alc.), sublimed 140°/0.1 mm.; acetate,
m. 138-40°; 2,4-dinitrophenylhydrazone, orange-red needles, m. 371-3° (PhNO2).
IX and morpholine gave 5-bromoisatic acid morpholide, needles, m. 208-10°
(decomposition); acetate, m. 168^{\circ} (H2O). IX (0.5 g.) and 0.4 g.
hexamethylenimine in 2 cc. MeOH gave 320 mg. 5-bromo-N,N-
hexamethylenisatamide, prisms, m. 165-6° (MeOH). Similarly, 4,5-benzisatin and
600 mg. V gave 300 mg. 3,4-benzisatic acid pyrrolidide, prisms, m. 179-80°
(MeOH). I (2 g.) treated with 100 cc. concentrated HNO3, after the initial
reaction warmed for a short period, evaporated to dryness in vacuo, and H2O
added followed by distillation gave 100 mg. steam-volatile material. The
product was the same constituent of the residue which was sublimed at 100°/0.1
mm. and gave 700 mg. product, C6H3O7N3, m. 118^{\circ}, considered to be picric acid.
I (2 g.) oxidized in 1% KOH solution at 70° with 2.65 g. KMnO4 gave 650 mg. II
and oxalic acid, m. 97-9^{\circ}. When I was oxidized with excess KMnO4, the
products were 300 mg. II and 300 mg. anthranilic acid, m. 142-4°. I (2.2 g.)
in 30 cc. AcOH treated 1 hr. at room temperature with 1.7 g. CrO3 in 57 cc.
\rm H2O gave only 350 mg. II. I (700 mg.) heated 48 hrs. at \rm 185^{\circ}/0.1 mm. gave 8.5
mg. oxindole, m. 125-7^{\circ}, together with other compds. not further isolated.
This degradation provided further evidence in support of a chromophore
containing an N-substituted piperidine in the structure postulated for I. The
infrared absorption spectra were given for the I and related compds. both in
```

N,N-dimethylformamide and in 5N HCl together with spectra for substituted 3,3-diaminooxindoles and substituted isatamides.

IT 112349-77-6, Pyridinium, 2,3,4,5-tetrahydro-1-(2-hydroxyindol-3-yl)-5-(2-oxo-3-indolinylidene)-, hydroxide, inner salt (as structure of isatin blue)

112349-77-6 CAPLUS

CN Pyridinium, 5-(1,2-dihydro-2-oxo-3H-indol-3-ylidene)-2,3,4,5-tetrahydro-1-(2-hydroxy-1H-indol-3-yl)-, inner salt (CA INDEX NAME)



L3 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1934:44956 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 28:44956
ORIGINAL REFERENCE NO.: 28:5439b-f

TITLE: The existence of favored substitution positions in

biphenylene sulfide

AUTHOR(S): Courtot, Charles; Kelner, Izaak SOURCE: Compt. rend. (1934), 198, 2003-5

DOCUMENT TYPE: Journal LANGUAGE: Unavailable GI For diagram(s), see printed CA Issue.

Biphenylene sulfide sulfone chloride with Zn in boiling H2O gave biphenylene AB sulfide-monosulfinic acid (I); monohydrate, m. 121°; Na and Ba salts, crystalline, soluble in H2O, acid oxidized in air to the hydrate of the sulfonic acid, m. 172°. I + SOC12 gave an unstable chloride which reacted with biphenylene sulfide in presence of AlCl3 in CS2 to give (C6H4.S.C6H4]2SO, m. 260°. I +  $\rm Zn$  in H2O at 15° gave the disulfide of biphenylene sulfide, m. 175°. Excess of Zn at 90° gave the thiol of biphenylene sulfide (II), m. 81°; Ac derivative, m. 122°; Bz derivative (III), m. 116°; Et ether (by action of EtBr), m. 93°. II was also made from nitrobiphenylene sulfide (C. A. 25, 4872) by reducing, diazotizing, treating with Et xanthate, and hydrolyzing the resulting thioxanthic ester with KOH to the K salt of II. This with BzCl gave III. Therefore the NO2 and SO3H groups enter the biphenylene sulfide mol. in the same position. Nitration of bromobiphenylene sulfide and bromination of nitrobiphenylene sulfide gave identical mononitromonobromobiphenylene sulfides (IV) which were also compared as acetates and benzoates of the corresponding bromoamino compds. Similarly the same nitrobiphenylene sulfide-sulfonic acid (chloride m.  $257^{\circ}$ ) was obtained regardless of the order of substitution. Reduction of IV followed by the Sandmeyer reaction gave dibromobiphenylene sulfide, m. 229°, identical with that obtained by direct bromination. It is concluded that the 2 substituents occupy sym. positions, with respect to the S and biphenylene linkage, in both rings. Cf. C. A. 20, 2155.

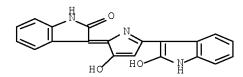
IT 876480-91-0P, 3-Isopyrrolinol,

5-(2-hydroxy-3-indyl)-2-(2-keto-3(2)-indylidene)-

RL: PREP (Preparation) (preparation of)

RN 876480-91-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[3-hydroxy-5-(2-hydroxy-1H-indol-3-yl)-2H-pyrrol-2-ylidene]- (CA INDEX NAME)



L3 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1934:44955 CAPLUS Full-text

DOCUMENT NUMBER: 28:44955

ORIGINAL REFERENCE NO.: 28:5438f-i,5439a-b

TITLE: Reaction of ninhydrin and isatin with proline and

hydroxyproline

AUTHOR(S): Grassmann, W.; v. Arnim, K.

SOURCE: Justus Liebigs Annalen der Chemie (1934), 509, 288-303

CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

Triketohydrindene hydrate (I) (2.2 mols.) and 1 mol. proline (II) in H2O at pH AΒ 7 at 60° give 83% of the dye III or IV (R = H), m. 176° (decomposition); this results in smaller yields from 2 mols. I and 1 mol. pyrrolidine (V) in boiling AcOH. I (1 mol.) and 1 mol. II in EtOH give 82% of monopyrrolidinylninhydrin, golden yellow, decomposing above 190°; with I at pH 7 68.6% of III results. I and hydroxyproline (VI) in H2O of pH 7 at  $40-50^{\circ}$  give 76% of a violet dye, III or IV (R =  $\overline{\text{OH}}$ ), does not m. 275°. I and piperidine (VII) in EtOH give 59% of dipiperidylninhydrin, yellow, m. 131° (decomposition); this is converted by boiling Ac2O to the dye, C23H15O4N, violet with metallic luster; this dye also results from 2 mols. I and 1 mol. VII or 1 mol. piperidine-2-carboxylic acid in AcOH; yields, about 60%. Isatin (2 mols.) and 1 mol. II in AcOH give 75.5% of a dye VIII or IX (R = H), blue needles; in H2O the yield is 46.8%; V gives the same dye; reduction with Zn or TiCl3 gives the leuco compound VI gives 57% of a dye (VIII or IX, R = OH), amorphous. Absorption spectra curves are given for these dyes. The structures of the intermediate compds. are discussed.

IT 857792-04-2P, Isopyrroline,

5-(2-hydroxy-3-indyl)-2-(2-keto-3(2)-indylidene)- 876480-91-0P, 3-Isopyrrolinol, 5-(2-hydroxy-3-indyl)-2-(2-keto-3(2)-indylidene)-RL: PREP (Preparation)

(preparation of)

RN 857792-04-2 CAPLUS

CN 2H-Indol-2-one, 3-[3,4-dihydro-5-(2-hydroxy-1H-indol-3-yl)-2H-pyrrol-2-ylidene]-1,3-dihydro- (CA INDEX NAME)

RN 876480-91-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[3-hydroxy-5-(2-hydroxy-1H-indol-3-yl)-2H-pyrrol-2-ylidene]- (CA INDEX NAME)

=> log off
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
STN INTERNATIONAL LOGOFF AT 09:11:27 ON 14 APR 2009